

# STW-Structure Search

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10/517,677

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L4 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2006:1226057 CAPLUS  
 DOCUMENT NUMBER: 146:20332  
 TITLE: Compositions and methods for treatment of eye disorders  
 INVENTOR(S): Gadek, Thomas; Burnier, John  
 PATENT ASSIGNEE(S): Sarcodex, USA  
 SOURCE: PCT Int. Appl., 140pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006125119	A1	20061123	WO 2006-US19327	20060517
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006281739	A1	20061214	US 2006-436906	20060517
PRIORITY APPLN. INFO.:			US 2005-681684P	P 20050517
			US 2005-681722P	P 20050517
			US 2005-681723P	P 20050517
			US 2005-681772P	P 20050517

OTHER SOURCE(S): MARPAT 146:20332

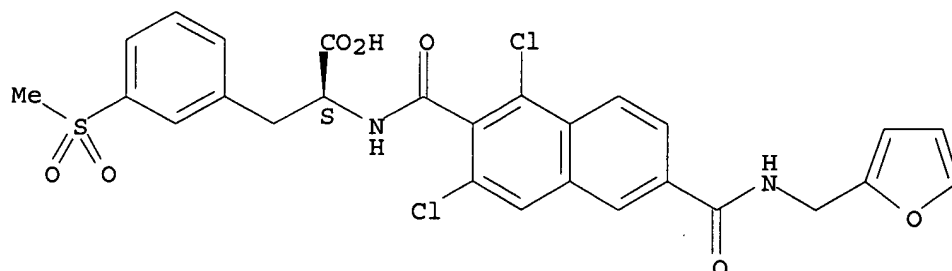
AB The present invention provides compds. and methods for the treatment of LFA-1 mediated diseases. In particular, LFA-1 antagonists are described herein and these antagonists are used in the treatment of LFA-1 mediated diseases. One aspect of the invention provides for diagnosis of an LFA-1 mediated disease and administration of a LFA-1 antagonist, after the patient is diagnosed with a LFA-1 mediated disease. In some embodiments, the LFA-1 mediated diseases treated are dry eye disorders. Also provided herein are methods for identifying compds. which are LFA-1 antagonists.

IT 915397-65-8  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (compns. and methods for treatment of eye disorders)

RN 915397-65-8 CAPLUS

CN L-Phenylalanine, N-[[[1,3-dichloro-6-[[[2-furanylmethyl]amino]carbonyl]-2-naphthalenyl]carbonyl]-3-(methylsulfonyl)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:632681 CAPLUS

DOCUMENT NUMBER: 145:262434

TITLE: Comparative Performance Assessment of the Conformational Model Generators Omega and Catalyst: A Large-Scale Survey on the Retrieval of Protein-Bound Ligand Conformations

AUTHOR(S): Kirchmair, Johannes; Wolber, Gerhard; Laggner, Christian; Langer, Thierry

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Institute of Pharmacy and Center for Molecular Biosciences (CMBI), University of Innsbruck, Innsbruck, A-6020, Austria

SOURCE: Journal of Chemical Information and Modeling (2006), 46(4), 1848-1861

CODEN: JCISD8; ISSN: 1549-9596

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In continuation of our studies to evaluate the ability of various conformer generators to produce bioactive conformations, the authors present the extension of our work on the anal. of Catalyst's conformational subsampling algorithm in a comparative evaluation with OpenEye's currently updated tool Omega 2.0. Our study is based on an enhanced test set of 778 drug mols. and pharmacol. relevant compds. extracted from the Protein Data Bank (PDB). The authors elaborated protocols for two common conformer generation use cases and applied them to both programs: (i) high-throughput settings for processing large databases and (ii) high-quality settings for binding site exploration or lead structure refinement. While Catalyst is faster in the first case, Omega 2.0 better reproduces the bound ligand conformations from the PDB in less time for the latter case.

IT 219316-40-2

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

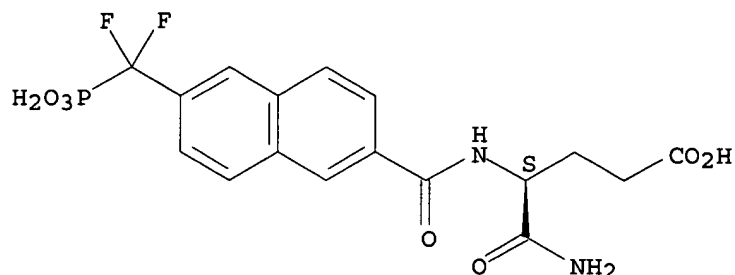
(comparative performance of conformational model generators Omega and Catalyst in retrieval of protein-bound ligand conformations)

RN 219316-40-2 CAPLUS

CN Pentanoic acid, 5-amino-4-[[[6-(difluorophosphonomethyl)-2-naphthalenyl]carbonyl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/517,677



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:630866 CAPLUS

DOCUMENT NUMBER: 145:103442

TITLE: Non-secosteroidal phenylnaphthalene compounds as vitamin d receptor modulators and their preparation, pharmaceutical compositions and use in treatment of diseases

INVENTOR(S): Gossett, Lynn Stacy; Lopez, Jose Eduardo; Warshawsky, Alan M.; Yee, Ying Kwong

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

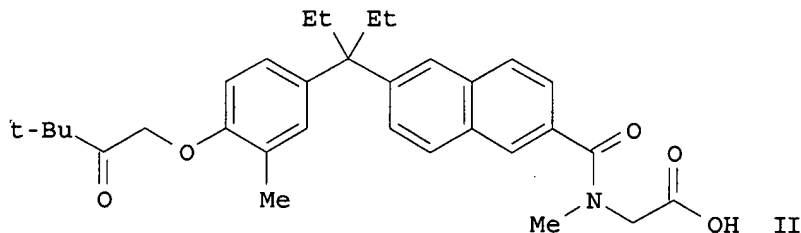
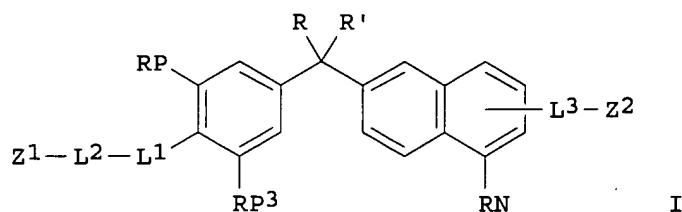
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006069153	A2	20060629	WO 2005-US46360	20051219
WO 2006069153	A3	20060914		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2004-637930P P 20041221

OTHER SOURCE(S): MARPAT 145:103442

GI



AB The present invention relates to novel, non-secosteroidal, phenyl-naphthalene compds. of formula I and their preparation, pharmaceutical compns., and methods of use. Compds. of formula I wherein, R and R' are independently C1-5 (halo)alkyl or RR' together form a (un)substituted (un)saturated C3-8 cycloalkyl; RP3 and RN are independently H, halo, C1-5 (halo)alkyl, S-C1-5 (halo)alkyl, O-C1-5 (halo)alkyl, CN, NO<sub>2</sub>, acetyl, C2-5 alkenyl, or C3-5 cycloalkenyl; L1-L3 are independently (CH<sub>2</sub>)<sub>m</sub>-C(OH), (CH<sub>2</sub>)<sub>m</sub>-O, (CH<sub>2</sub>)<sub>m</sub>-S, (CH<sub>2</sub>)<sub>m</sub>-SO, (CH<sub>2</sub>)<sub>m</sub>-SO<sub>2</sub>, (CH<sub>2</sub>)<sub>m</sub>-NH and derivs., (un)substituted alkyl, etc.; Z1 is branched C3-5 alkyl, C3-10 hydroxy(cyclo)alkyl, C3-10 hydroxyalkenyl, C3-10 hydroxyalkynyl, C4-10 hydroxycycloalkenyl, or oxocycloalkyl; Z3 is C1-5 (hydroxy)alkyl, C2-5 alkenyl, C3-5 cycloalkyl, C3-5 cycloalkenyl, C1-5 haloalkyl, C1-5 (hydroxy)alkylaryl, etc.; m is 0 to 5; and their pharmaceutically acceptable salts, solvates, prodrugs, enantiomers, racemates, diastereoisomers, and mixts. or diastereoisomers are claimed. Example compound II was prepared by amidation of 6-[1-[4-(3,3-dimethyl-2-oxobutoxy)-3-methylphenyl]-1-ethylpropyl]naphthalene-2-carboxylic acid with sarcosine Et ester hydrochloride followed by hydrolysis of the resulting amido ester to give compound II. All the invention compds. were evaluated for their vitamin D receptor affinity. From the assay, it was determined that compound

II exhibited IC<sub>50</sub> values of 24 nM against keranocyte proliferation and 5 nM against IL-10.

IT 895520-35-1P 895520-52-2P

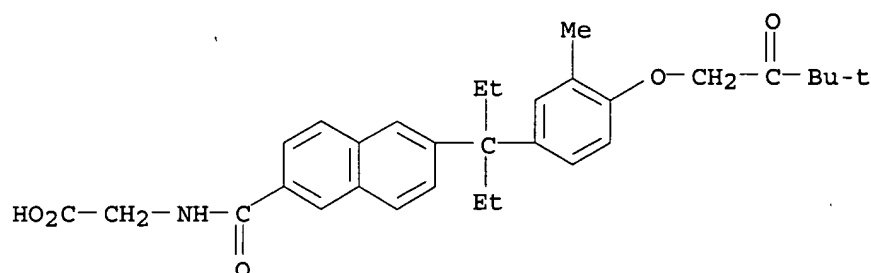
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of non-secosteroidal, phenylnaphthalene compds. as vitamin D receptor modulators useful in treatment of diseases)

RN 895520-35-1 CAPLUS

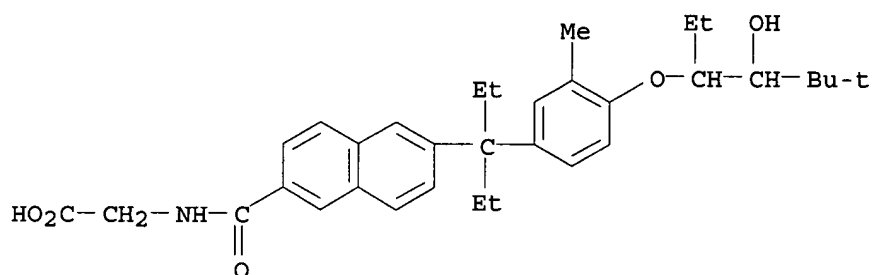
CN Glycine, N-[[6-[1-[4-(3,3-dimethyl-2-oxobutoxy)-3-methylphenyl]-1-ethylpropyl]-2-naphthalenyl]carbonyl]- (9CI) (CA INDEX NAME)

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RN 895520-52-2 CAPLUS

CN Glycine, N-[[6-[1-ethyl-1-[4-(1-ethyl-2-hydroxy-3,3-dimethylbutoxy)-3-methylphenyl]propyl]-2-naphthalenyl]carbonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:365240 CAPLUS

DOCUMENT NUMBER: 144:412505

TITLE: Benzimidazole or indole amides as inhibitors of pin1 and their preparation, pharmaceutical compositions, and use for treatment of diseases associated with abnormal cell growth

INVENTOR(S): Do, Quyen-Quyen Thuy; Guo, Chuangxing; Humphries, Paul Stuart; Marakovits, Joseph Timothy; Dong, Liming; Hou, Xinjun; Johnson, Mary Catherine

PATENT ASSIGNEE(S): Pfizer, Inc., USA

SOURCE: PCT Int. Appl., 396 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040646	A1	20060420	WO 2005-IB3019	20051003
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,			

10/517,677

KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

US 2004-619211P

P 20041014

OTHER SOURCE(S):

MARPAT 144:412505

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to compds. of the formula I and to pharmaceutically acceptable salts and solvates thereof, wherein the variables are defined herein. The invention also relates to methods of treating abnormal cell growth in mammals by administering the compds. of formula I and to pharmaceutical compns. for treating such disorders that contain the compds. of formula I. The invention also relates to methods of preparing the compds. of formula I. Compds. of formula I wherein Q, Q1, Q2, and Q3 are independently N, CH2 or CH, where not more than two of the Qs are N; T is CH or N; T1 is O, NH or NMe; X is NH, O, CH=, or NR'; R' is (un)substituted alkyl; Y is CO, CH2, or CONH and derivs.; Z is H or (un)substituted alkyl; XY and X can form a heterocyclic ring or X and Y can form a heterocyclic ring; R and V are independently H, halo, alkyl, halogenated alkyl, alkoxy, OH, NH2, CN; R1 is (un)substituted (hetero)aryl, (un)substituted aryloxy, (un)substituted arylsulfanyl, (un)substituted arylvinyl or (un)substituted arylalkyl(amino), etc.; R3 is CO2H, tetrazole, CO2CHR4OCOR4 or CONH2 and derivs.; R4 is H or alkyl; and their pharmaceutically acceptable salts and solvates are claimed in this invention. Example compound II was prepared by substitution of compound II with benzoxazole-2-thiol followed by hydrolysis at the ester. Addnl. 1400 example compds. were prepared in this invention. All invention compds. were evaluated for their pin1 inhibitory activity. Example compound II showed 10% inhibition at 1  $\mu$ M and 73% inhibition at 10  $\mu$ M concentration. Most of the invention compds. showed good inhibitory activity at 10  $\mu$ M concentration.

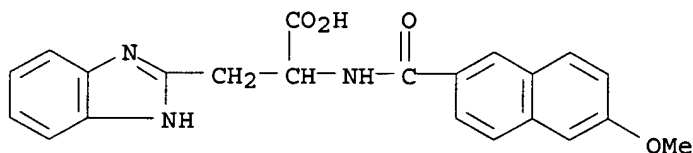
IT 884033-52-7P 884035-28-3P 884035-30-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzimidazole or indole amides as inhibitors of pin1 useful for treatment of diseases associated with abnormal cell growth)

RN 884033-52-7 CAPLUS

CN 1H-Benzimidazole-2-propanoic acid,  $\alpha$ -[[[6-methoxy-2-naphthalenyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 884035-28-3 CAPLUS

CN 1H-Indole-2-propanoic acid, 5-chloro- $\alpha$ -[[[6-[2-(dimethylamino)ethoxy]-2-naphthalenyl]carbonyl]amino]-, ( $\alpha$ R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

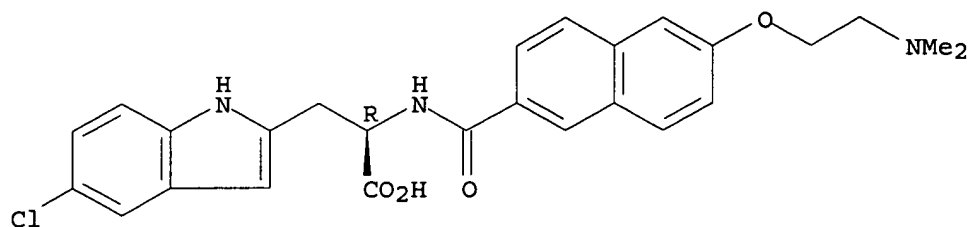
CM 1

CRN 884035-27-2

CMF C26 H26 Cl N3 O4

Absolute stereochemistry.

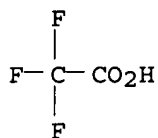
10/517,677



CM 2

CRN 76-05-1

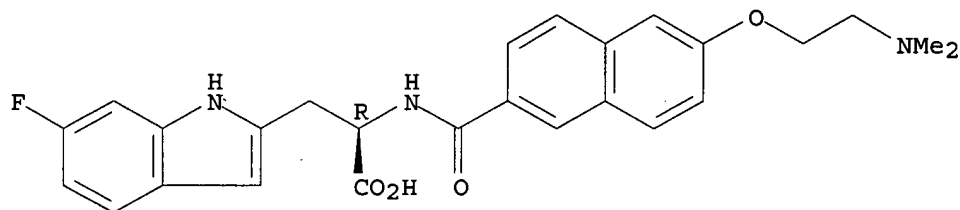
CMF C2 H F3 O2



RN 884035-30-7 CAPLUS

CN 1H-Indole-2-propanoic acid,  $\alpha$ -[[[6-[2-(dimethylamino)ethoxy]-2-naphthalenyl]carbonyl]amino]-6-fluoro-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1004736 CAPLUS

DOCUMENT NUMBER: 143:306307

TITLE: Preparation of pyrazolecarboxamides as novel insecticides

INVENTOR(S): Hughes, Dave; Peace, James Edward; Riley, Suzanna; Russel, Sally; Swanborough, Joe; Hall, Roger Graham; Jeanguenat, Andre; Loiseleur, Olivier; Renold, Peter; Trah, Stephan; Wenger, Jean

PATENT ASSIGNEE(S): Syngenta Participations A.-G., Switz.; Syngenta Limited

SOURCE: PCT Int. Appl., 202 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

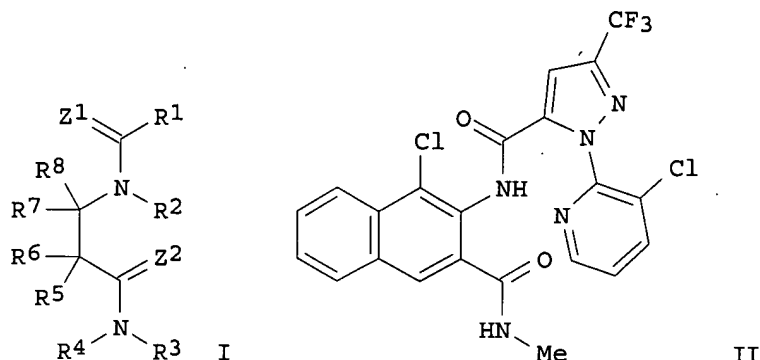
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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10/517,677

WO 2005085234	A2	20050915	WO 2005-EP2204	20050302
WO 2005085234	A3	20060126		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005219545	A1	20050915	AU 2005-219545	20050302
CA 2556387	A1	20050915	CA 2005-2556387	20050302
EP 1737844	A2	20070103	EP 2005-715671	20050302
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRIORITY APPLN. INFO.:			GB 2004-4801	A 20040303
			GB 2004-11078	A 20040518
			GB 2004-25453	A 20041118
			WO 2005-EP2204	W 20050302
OTHER SOURCE(S): MARPAT 143:306307				
GI				



AB The title compds. I [Z1, Z2 = O, S; R1 = (un)substituted aryl or heteroaryl; R2-R4 = H or an organic substituent; or NR3R4 = (un)substituted ring; R5 = H, (un)substituted alkyl; or forms, taken together with R8 or with a monovalent substituent attached to that atom of R6, via which atom R6 is directly connected with the carbon atom, which carries R5, one addnl. bond; R6 and R7, taken together, form, together with the two carbon atoms, to which atoms they are attached, a bicyclic ring system, which ring system is carbocyclic or heterocyclic, which ring system is substituted by the four substituents NR2C(:Z1)R1, C(:Z2)NR3R4, R5 and R8, and which ring system is optionally further substituted; and R8 = H, (un)substituted alkyl; or forms, taken together with R5 or with a monovalent substituent attached to that atom of R7, via which atom R7 is directly connected with the carbon atom, which carries R8, one addnl. bond], useful for controlling insects or representatives of the order Acarina, were prepared E.g., a multi-step synthesis of II, starting from 2-amino-3-carboxynaphthalene, was given. The compds. I were tested against various pests (specific data were given for representative compds. I).

IT 864677-29-2P 864677-30-5P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN



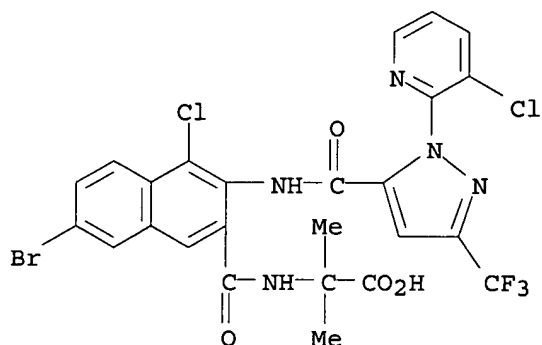
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(Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolecarboxamides as novel insecticides)

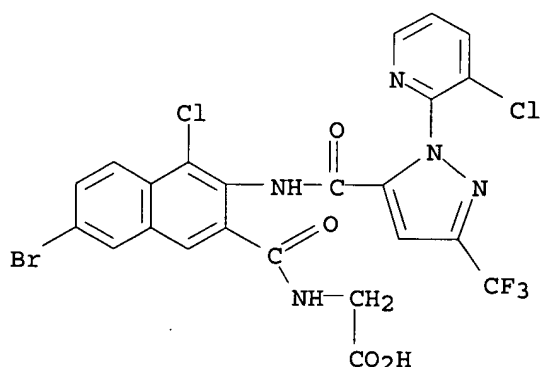
RN 864677-29-2 CAPLUS

CN Alanine, N-[[7-bromo-4-chloro-3-[[[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]carbonyl]amino]-2-naphthalenyl]carbonyl]-2-methyl- (9CI) (CA INDEX NAME)



RN 864677-30-5 CAPLUS

CN Glycine, N-[[7-bromo-4-chloro-3-[[[1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]carbonyl]amino]-2-naphthalenyl]carbonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:595110 CAPLUS

DOCUMENT NUMBER: 143:248596

TITLE: Regio- and stereocontrolled total synthesis of benanomycin B

AUTHOR(S): Ohmori, Ken; Tamiya, Minoru; Kitamura, Mitsuru; Kato, Hirohisa; Oorui, Mami; Suzuki, Keisuke

CORPORATE SOURCE: Department of Chemistry, SORST-JST Agency, Tokyo Institute of Technology, Tokyo, 152-8551, Japan

SOURCE: Angewandte Chemie, International Edition (2005), 44(25), 3871-3874

CODEN: ACIEF5; ISSN: 1433-7851

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:248596

AB Fully controlled total synthesis of benanomycin B was achieved by exploiting two key steps: a stereocontrolled ring-opening of a lactone and

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a semipinacol cyclization of an acetal-aldehyde derivative discriminating the two hydroxy groups of the pseudo-C2-sym. 1,2-diol moiety.

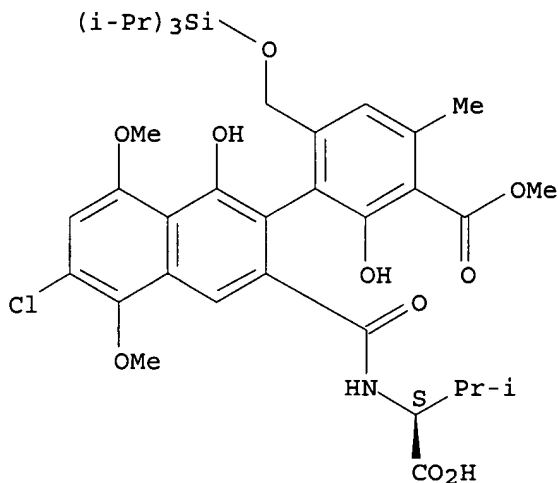
IT 863423-77-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(regio- and stereo-controlled total synthesis of benanomycin B via ring-opening of lactone and semipinacol cyclization of acetalaldehyde deriv)

RN 863423-77-2 CAPLUS

CN Benzoic acid, 3-[3-[[[(1S)-1-carboxy-2-methylpropyl]amino]carbonyl]-6-chloro-1-hydroxy-5,8-dimethoxy-2-naphthalenyl]-2-hydroxy-6-methyl-4-[[[tris(1-methylethyl)silyl]oxy]methyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

37

THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

*Inventor's*  
L4 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:989723 CAPLUS

DOCUMENT NUMBER: 140:28042

TITLE: Preparation of N-naphthoylphenylalanines as prostaglandin I2 antagonists

INVENTOR(S): Shimazaki, Makato; Sakurai, Osamu; Urbahns, Klaus; Yamamoto, Noriyuki; Yoshikawa, Satoru; Umeda, Masaomi; Tajimi, Masaomi

PATENT ASSIGNEE(S): Bayer Ag, Germany

SOURCE: Brit. UK Pat. Appl., 26 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

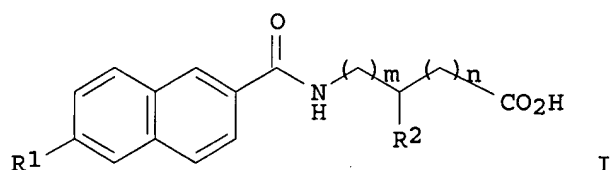
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2389580	A	20031217	GB 2002-13488	20020612
CA 2489286	A1	20031224	CA 2003-2489286	20030530
WO 2003106402	A1	20031224	WO 2003-EP5705	20030530

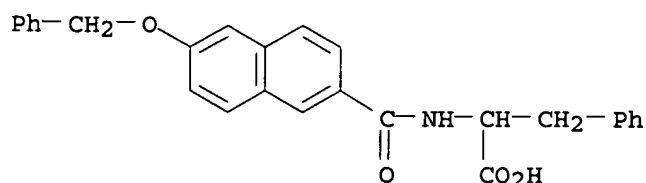
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,

10/517,677

TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 AU 2003238180 A1 20031231 AU 2003-238180 20030530  
 EP 1515941 A1 20050323 EP 2003-735507 20030530  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 JP 2005529180 T 20050929 JP 2004-513236 20030530  
 US 2006166989 A1 20060727 US 2005-517677 20050711  
 PRIORITY APPLN. INFO.: GB 2002-13488 A 20020612  
 WO 2003-EP5705 W 20030530  
 OTHER SOURCE(S): MARPAT 140:28042  
 GI



AB Title compds. [I; m, n = 0-2; R1 = OR11, SR11, SOR11 SO2R11, NR12R13, CHR14R15; R11 = alkenyl, alkynyl alkyl optionally substituted by aryl or heteroaryl; R12, R13 H, R11; R12R13N = 5-7 membered saturated heterocyclyl interrupted by O or NH; R14, R15 H, alkenyl optionally substituted by aryl or heteroaryl, alkynyl optionally substituted by aryl or heteroaryl, alkyl optionally substituted by aryl or heteroaryl, alkoxy optionally substituted by aryl or heteroaryl; R14R15CH = cycloalkyl optionally interrupted by NH, or O, or R14R15CH = Ph optionally substituted by OH, halo or alkyl; R2 = H, cyano, alkoxy, alkenyl, alkynyl, cycloalkyl, alkyl optionally substituted by amino, alkylamino, Ph], were prepared for treatment of pain, inflammation, urol. disorders, hypotension, hemophilia, and hemorrhage (no data). Thus, 6-hydroxy-2-naphthoic acid, DL-phenylalanine Me ester, 1-hydroxybenzotriazole, Et3N, and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride were stirred overnight in DMF to give 85% N-(6-hydroxy-2-naphthoyl)phenylalanine Me ester. This was benzylated (76%) followed by saponification with LiOH in H2O/MeOH to give 82% N-(6-benzyloxy-2-naphthoyl)phenylalanine.  
 IT 634206-86-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N-naphthoylphenylalanines as prostaglandin I2 antagonists)  
 RN 634206-86-3 CAPLUS  
 CN Phenylalanine, N-[[6-(phenylmethoxy)-2-naphthalenyl]carbonyl]- (9CI) (CA INDEX NAME)



10/517,677

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:907753 CAPLUS

DOCUMENT NUMBER: 140:314391

TITLE: Structure-based prediction of free energy changes of binding of PTP1B inhibitors

AUTHOR(S): Wang, Jing; Ling Chan, Shek; Ramnarayan, Kal

CORPORATE SOURCE: Structural Bioinformatics Inc., San Diego, CA, 92127, USA

SOURCE: Journal of Computer-Aided Molecular Design (2003), 17(8), 495-513

CODEN: JCADEQ; ISSN: 0920-654X

PUBLISHER: Kluwer Academic Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The goals were (1) to understand the driving forces in the binding of small mol. inhibitors to the active site of PTP1B and (2) to develop a mol. mechanics-based empirical free energy function for compound potency prediction. A set of compds. with known activities was docked onto the active site. The related energy components and mol. surface areas were calculated. The bridging water mols. were identified and their contributions were considered. Linear relationships were explored between the above terms and the binding free energies of compds. derived based on exptl. inhibition consts. We found that minimally three terms are required to give rise to a good correlation (0.86) with predictive power in five-group cross-validation test ( $q^2 = 0.70$ ). The dominant terms are the electrostatic energy and non-electrostatic energy stemming from the intra- and intermol. interactions of solutes and from those of bridging water mols. in complexes.

IT 679401-36-6 679401-37-7

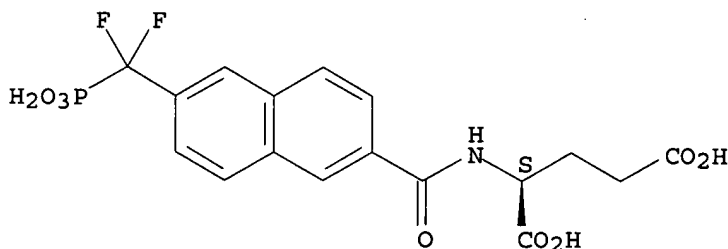
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(structure-based prediction of free energy changes of binding of PTP1B inhibitors)

RN 679401-36-6 CAPLUS

CN L-Glutamic acid, N-[[6-(difluorophosphonomethyl)-2-naphthalenyl]carbonyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

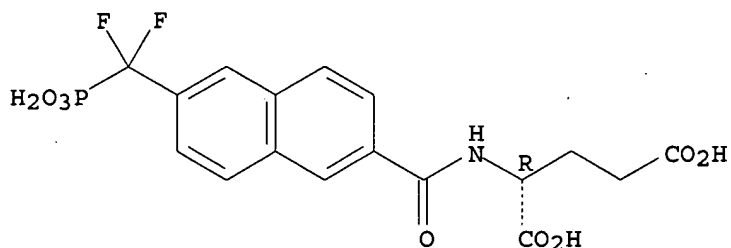


RN 679401-37-7 CAPLUS

CN D-Glutamic acid, N-[[6-(difluorophosphonomethyl)-2-naphthalenyl]carbonyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

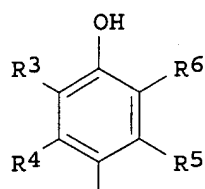
10/517,677



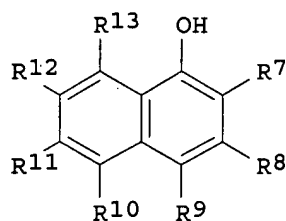
REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2003:133577 CAPLUS  
DOCUMENT NUMBER: 138:183523  
TITLE: Reagent for determining hydrogen peroxide in clinical assay  
INVENTOR(S): Okabe, Kazuaki; Kadota, Akira; Aoki, Kozo; Takahashi, Kazunobu; Sakurada, Masami; Nakamura, Kouki  
PATENT ASSIGNEE(S): Kyowa Medex Co., Ltd., Japan; Fuji Photo Film Co., Ltd.  
SOURCE: PCT Int. Appl., 124 pp.  
DOCUMENT TYPE: CODEN: PIXXD2  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003014725	A1	20030220	WO 2002-JP7905	20020802
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1424554	A1	20040602	EP 2002-755787	20020802
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
US 2005130251	A1	20050616	US 2003-485698	20020802
PRIORITY APPLN. INFO.:			JP 2001-234597	A 20010802
			WO 2002-JP7905	W 20020802
OTHER SOURCE(S):	MARPAT 138:183523			
GI				



II



III

AB A reagent for colorimetrically determining hydrogen peroxide in a clin. assay  
is

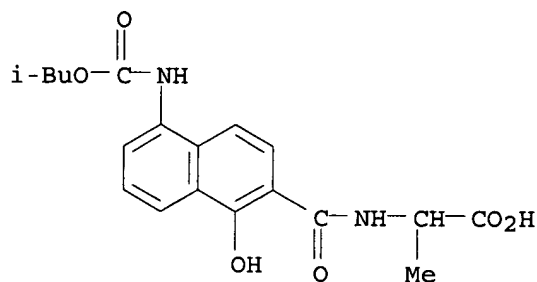
provided, which comprises: (A) a compound represented by the general formula (I):  $R_1-NH-R_2$  (I) <  $R_1$  represents carbamoyl group, etc.; and  $R_2$  represents arylamino group, heteroaryl amino group, or a substituent represented by the general formula II: (II) [ $R_3$  to  $R_6$  each represents  $X-Y-R_a$  {  $R_a$  represents hydrogen atom, alkyl group, etc.;  $X$  represents a single bond, oxygen, etc.; and  $Y$  represents a single bond,  $(C=O)$ , etc. }, cyano group, halogen atom, etc. ]>; (B) a compound represented by the general formula III: (III) [ $R_9$  represents a group eliminable through an oxidative color-developing coupling reaction with the compound (I); and  $R_7$ ,  $R_8$ , and  $R_{10}$  to  $R_{13}$  each has the same meaning as  $R_3$ ], etc.; and (C) an peroxidn.-active substance (e.g., peroxidase).

IT 497861-06-0

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)  
(reagent for determining hydrogen peroxide in clin. assay)

RN 497861-06-0 CAPLUS

CN Alanine, N-[[1-hydroxy-5-[[ (2-methylpropoxy) carbonyl] amino] -2-naphthalenyl] carbonyl] - (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:117825 CAPLUS

DOCUMENT NUMBER: 138:170259

TITLE: Preparation of dipyridodiazepinones as reverse transcriptase inhibitors

INVENTOR(S): Ogilvie, William W.; Deziel, Robert; O'Meara, Jeffrey; Simoneau, Bruno

PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

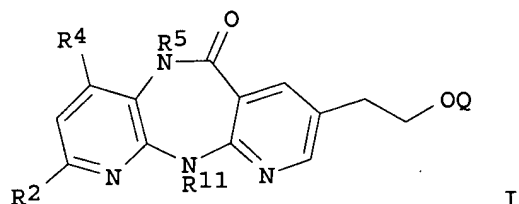
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

10/517,677

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011862	A1	20030213	WO 2002-CA1161	20020726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003171363	A1	20030911	US 2002-205094	20020725
US 6673791	B2	20040106		
CA 2450868	A1	20030213	CA 2002-2450868	20020726
EP 1414820	A1	20040506	EP 2002-750729	20020726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2004537568	T	20041216	JP 2003-517054	20020726
PRIORITY APPLN. INFO.:			US 2001-308710P	P 20010730
			WO 2002-CA1161	W 20020726
OTHER SOURCE(S):		MARPAT 138:170259		
GI				



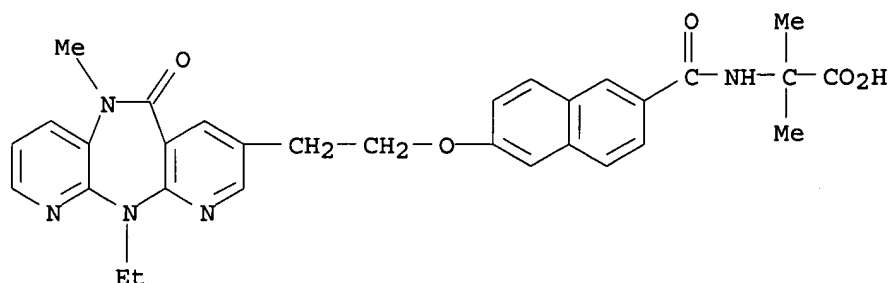
AB Title compds. [I; R2 = H, halo, NHNH2, alkyl, alkoxy, haloalkyl; R4 = H, Me; R5 = H, alkyl; R11 = alkyl, alkylcycloalkyl, cycloalkyl; Q = (substituted) naphthyl, fused phenylcycloalkyl, fused phenylheterocyclly having 1-2 O, N, S], were prepared Thus, diisopropyl azodicarboxylate in THF was added dropwise to a mixture of 5,11-dihydro-11-ethyl-2-fluoro-5-methyl-8-(2-hydroxyethyl)-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, Ph3P, and 4-formyl-1-naphthol followed by stirring for 1 h to give 56% formyl-naphthyl ether derivative, which was stirred with AgNO3 and NaOH in EtOH/THF to give 62% title compound I (Q = 4-carboxynaphthyl-1-yl; R2 = F; R4 = H; R5 = Me; R11 = Et) (II). II showed IC50<100 nM against wild type HIV-1 reverse transcriptase.

IT 497068-17-4P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of dipyridodiazepinones as reverse transcriptase inhibitors)

RN 497068-17-4 CAPLUS

CN Alanine, N-[[6-[2-(11-ethyl-6,11-dihydro-5-methyl-6-oxo-5H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-8-yl)ethoxy]-2-naphthalenyl]carbonyl]-2-methyl-(9CI) (CA INDEX NAME)

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REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:888541 CAPLUS

DOCUMENT NUMBER: 137:369841

TITLE: Preparation of carboxylic acid derivatives as endothelial differentiation gene (EDG-1) receptor agonists and drugs containing the same as the active ingredient

INVENTOR(S): Seko, Takuya; Terakado, Masahiko; Kohno, Hiroshi; Takahashi, Shinya

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

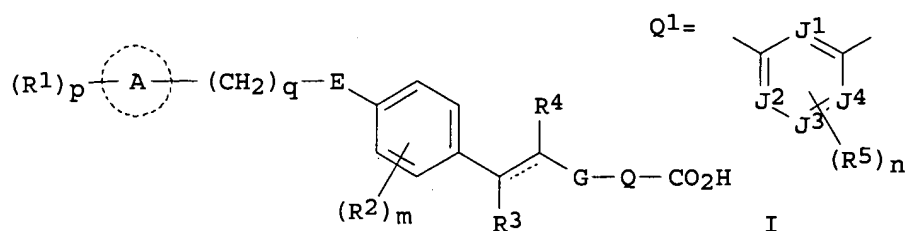
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092068	A1	20021121	WO 2002-JP4520	20020509
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2446593	A1	20021121	CA 2002-2446593	20020509
EP 1391199	A1	20040225	EP 2002-769553	20020509
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004224941	A1	20041111	US 2003-477106	20031110
PRIORITY APPLN. INFO.:			JP 2001-140458	A 20010510
			WO 2002-JP4520	W 20020509
OTHER SOURCE(S):	MARPAT 137:369841			
GI				





AB [(Phenylalkanoyl)amino]benzoic acids and -benzamides represented by the following general formula [I; R1, R2 = C1-8 alkyl, C1-8 alkoxy, halo, NO2, CF3; the ring A = C5-7 monocyclic carbocyclic ring, 5 - to 7-membered monocyclic heterocyclic ring containing 1-2 N, 1 O, and/or 1 S atoms; E = CH2, O, S, NH, C1-8 alkyl-N; R3, R4 = H, C1-8 alkyl; or R2 and R3 together represents CH2CH2 or CH:CH; G = N-(un)substituted CONH, NHCO, SO2NH, NHSO2, CH2NH, or NHCH2; Q = C1-4 alkylene, Q1 [wherein J1-J4 = CH, N; R5 = C1-8 alkyl, halo, NO2, cyano, CF3, CF3O, Ph, tetrazolyl, each (un)substituted HO, SH, NH2, CONH2, or CO2NH2, etc.; n = an integer of 0-4]; p = an integer of 0-5; q = an integer of 4-6; m = an integer of 0-4], prodrugs thereof, or salts thereof and drugs containing the same as the active ingredient are disclosed. Because of having an EDG-1 agonism, the compds. of the general formula I are useful in preventing and/or treating arteriosclerosis obliterans, thromboangiitis obliterans, Buerger's disease, peripheral arterial disease of diabetic neuropathy, sepsis, angitis, nephritis, pneumonia, brain infarction, myocardial infarction, edematous diseases, arteriosclerosis, hemorrhoid, anal fissure, varicosity such as anal fistula, dissecting aneurysm, angina, DIC, pleuritis, congestive heart failure, multiorgan failure, bed sore, ambustion (burn), ulcerative colitis, Crohn's disease, heart transplantation, kidney transplantation, skin transplantation, liver transplantation, osteoporosis, pulmonary fibrosis, interstitial pneumonia, chronic hepatitis, cirrhosis, chronic renal failure or glomerular sclerosis. For example, 2-carboxy-5-[3-[4-(5-phenylpentyl)oxy]phenyl]propanoylamino]benzoic acid in vitro showed the agonism activity with EC50 of 14 nM for increasing cellular Ca<sup>2+</sup> concentration in Chinese hamster ovary (CHO) cell overexpressing human EDG-1 gene. A tablet and an ampule formulation containing 2-chloro-5-[3-[4-(5-phenylpentyl)oxy]phenyl]propanoylamino]benzoic acid were described.

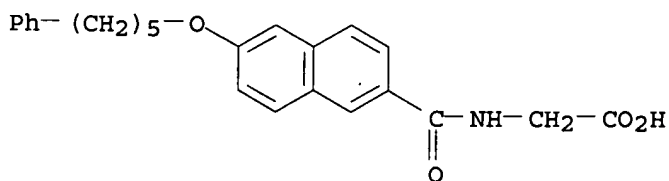
IT 475597-70-7P 475597-71-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [(phenylalkanoyl)amino]benzoic acids and -benzamides derivs. as endothelial differentiation gene (EDG-1) receptor agonists for treatment or prevention of diseases)

RN 475597-70-7 CAPLUS

CN Glycine, N-[[6-[(5-phenylpentyl)oxy]-2-naphthalenyl]carbonyl]- (9CI) (CA INDEX NAME)

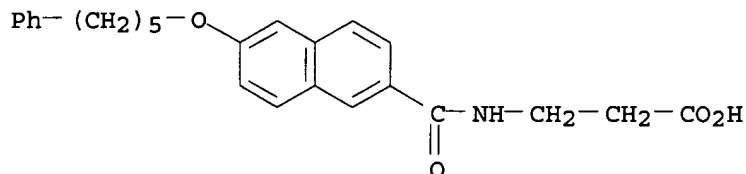


RN 475597-71-8 CAPLUS

CN β-Alanine, N-[[6-[(5-phenylpentyl)oxy]-2-naphthalenyl]carbonyl]-

10/517,677

(9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:256223 CAPLUS

DOCUMENT NUMBER: 136:295089

TITLE: Preparation of amino acid aromatic derivatives with HIV integrase inhibitory properties

INVENTOR(S): N'zamba, Blaise Magloire; Sauve, Gilles; Seigny, Guy; Yelle, Jocelyn

PATENT ASSIGNEE(S): Pharmacor, Inc., Can.

SOURCE: PCT Int. Appl., 173 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026697	A2	20020404	WO 2001-CA1367	20010925
WO 2002026697	A3	20020516		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2321348	A1	20020327	CA 2000-2321348	20000927
AU 2001095310	A5	20020408	AU 2001-95310	20010925
US 6528655	B1	20030304	US 2001-963329	20010926
PRIORITY APPLN. INFO.:			CA 2000-2321348	A 20000927
			WO 2001-CA1367	W 20010925

OTHER SOURCE(S): MARPAT 136:295089

AB Amino acid derivs. R1CO-A-CONHR2 [A = NR3CR4R5, where R3, R4 = H or Me; R5 = H, alkyl, carboxyalkyl, benzyl, MeSCH2CH2, 1-indolylmethyl, 3,4-(HO)2C6H2CH2, etc.; R3R4 may be trimethylene, which may be substituted; R1, R2 are certain rings (Ph, 3-pyridyl, 2-quinolyl, 2-thienyl, etc.), which may be substituted and attached to alkyl; R2 may also be aroylamino] were prepared as inhibitors of HIV integrase. Thus, N-[N $\alpha$ -(3,4-dihydroxybenzoyl)-N $\tau$ -trityl-L-histidinyll]dopamine was prepared by coupling of N $\alpha$ -(9-fluorenylmethoxycarbonyl)-N $\tau$ -trityl-L-histidine with dopamine hydrochloride, deprotection, and acylation with 3,4-dihydroxybenzoic acid and showed anti-integrase activity IC50 = 65 nM.

IT 406728-08-3P

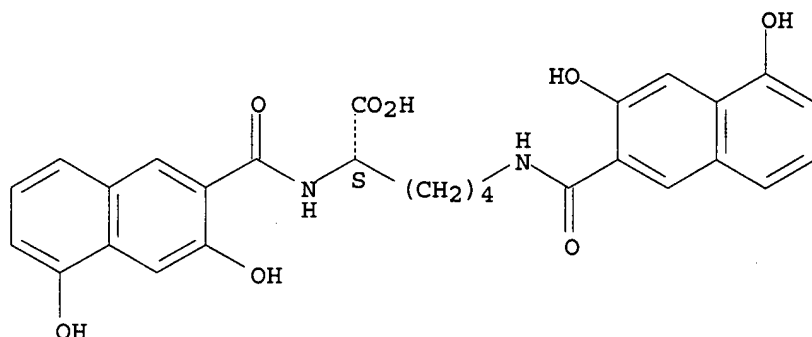
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of amino acid aromatic derivs. with HIV integrase inhibitory

10/517,677

properties)  
RN 406728-08-3 CAPLUS  
CN L-Lysine, N2,N6-bis[(3,5-dihydroxy-2-naphthalenyl)carbonyl]- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.

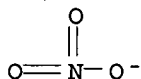


L4 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2001:834777 CAPLUS  
DOCUMENT NUMBER: 136:173460  
TITLE: Aromatic anion recognition by a self-assembled  
receptor in water  
AUTHOR(S): Kim, Hae-Jo; Lim, Choon Woo; Hong, Jong-In  
CORPORATE SOURCE: School of Chemistry and Molecular Engineering, Seoul  
National University, Seoul, 151-747, S. Korea  
SOURCE: Materials Science & Engineering, C: Biomimetic and  
Supramolecular Systems (2001), C18(1-2), 265-269  
CODEN: MSCEEE; ISSN: 0928-4931  
PUBLISHER: Elsevier Science B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Self-assembly of (1R,2R)-diaminocyclohexane derived bis(4-pyridyl)-  
substituted bidentate ligand L\* by Pd(II) ion complexation leads to a  
water-soluble chiral receptor 1. The new chiral receptor turns out to bind  
naphthalene derivs. bearing tethered carboxylate groups due to the  
entropically driven host-guest complexation process.  
IT 396665-07-9 396665-19-3 396665-23-9  
396665-27-3 396665-29-5 396665-39-7  
396665-41-1 396665-43-3 396665-45-5  
396665-53-5 396665-67-1  
RL: CPS (Chemical process); PEP (Physical, engineering or chemical  
process); PRP (Properties); PROC (Process)  
(aromatic anion host-guest complexation by self-assembled  
palladium(II)-1R,2R)-bis(4-pyridyl)diaminocyclohexane in water)  
RN 396665-07-9 CAPLUS  
CN Palladium(4+), bis[μ-[N,N'-(1R,2R)-1,2-cyclohexanediylbis[4-  
pyridinecarboxamide-κN1]]]bis(1,2-ethanediamine-  
κN,κN')di-, sodium nitrate salt with 6-[[[(1-  
carboxyethyl)amino]carbonyl]-2-naphthalenecarboxylic acid (1:2:4:1) (9CI)  
(CA INDEX NAME)  
CM 1  
CRN 396665-06-8  
CMF C15 H11 N O5

CM 3

CRN 14797-55-8

CMF N 03



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:540902 CAPLUS

DOCUMENT NUMBER: 135:282678

TITLE: Utilization of a peptide lead for the discovery of a novel PTP1B-binding motif

AUTHOR(S): Gao, Yang; Voigt, Johannes; Zhao, He; Pais, Godwin C. G.; Zhang, Xuechun; Wu, Li; Zhang, Zhong-Yin; Burke, Terrence R., Jr.

CORPORATE SOURCE: Laboratory of Medicinal Chemistry Center for Cancer Research, National Cancer Institute, NCI-Frederick, Frederick, MD, 21702, USA

SOURCE: Journal of Medicinal Chemistry (2001), 44(18), 2869-2878

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:282678

AB Examination of the PTP1B inhibitory potency of an extensive series of phosphotyrosyl (pTyr) mimetics (Xxx) expressed in the EGFR-derived hexapeptide platform Ac-Asp-Ala-Asp-Xxx-Leu-amide previously led to the finding of high inhibitory potency when Xxx = 4-(phosphonodifluoromethyl)phenylalanyl (F2Pmp) ( $K_i = 0.2 \mu\text{M}$ ) and when Xxx = 3-carboxy-4-carboxymethyloxyphenylalanyl ( $K_i = 3.6 \mu\text{M}$ ). In the first instance, further work led from the F2Pmp-containing peptide to monomeric inhibitor, 6-(phosphonodifluoromethyl)-2-naphthoic acid ( $K_i = 22 \mu\text{M}$ ), and to the pseudo-dipeptide mimetic, N-[6-(phosphonodifluoromethyl)-2-naphthoyl]-glutamic acid ( $K_i = 12 \mu\text{M}$ ). In the current study, a similar approach was applied to the 3-carboxy-4-carboxymethyloxyphenylalanyl-containing peptide, which led to the preparation of monomeric 5-carboxy-6-carboxymethyloxy-2-naphthoic acid ( $K_i = 900 \mu\text{M}$ ). However, contrary to expectations based on the aforementioned F2Pmp work, incorporation of this putative pTyr mimetic into the pseudo-dipeptide, N-[5-carboxy-6-carboxymethyloxy-2-naphthoyl]-glutamic acid, resulted in a substantial loss of binding affinity. A reevaluation of binding orientation for 5-carboxy-6-carboxymethyloxy-2-naphthoic acid was therefore undertaken, which indicated a  $180^\circ$  reversal of the binding orientation within the PTP1B catalytic site. In the new orientation, the naphthyl 2-carboxyl group, and not the o-carboxy carboxymethyloxy groups, mimics a phosphoryl group. Indeed, when 5-carboxy-2-naphthoic acid itself was examined at neutral pH for inhibitory potency, it was found to have  $K_i = 31 \pm 7 \mu\text{M}$ , which is lower than parent 5-carboxy-6-carboxymethyloxy-2-naphthoic acid. In this fashion,

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5-carboxy-2-naphthoic acid (or more appropriately, 6-carboxy-1-naphthoic acid) has been identified as a novel PTP1B binding motif.

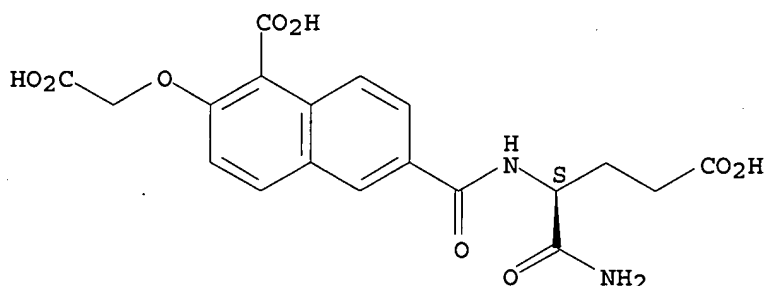
IT 364371-95-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(discovery of novel PTP1B-binding motif)

RN 364371-95-9 CAPLUS

CN 1-Naphthalenecarboxylic acid, 2-(carboxymethoxy)-6-[[[(1S)-1-(aminocarbonyl)-3-carboxypropyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



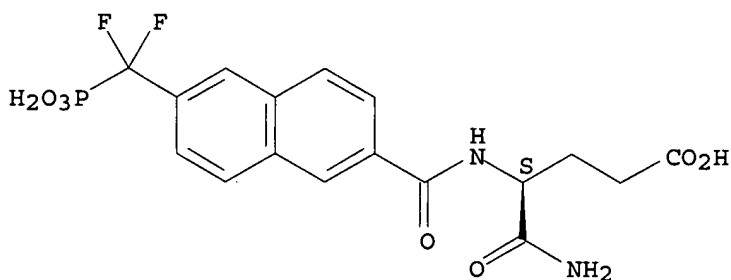
IT 219316-40-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(discovery of novel PTP1B-binding motif)

RN 219316-40-2 CAPLUS

CN Pentanoic acid, 5-amino-4-[[[6-(difluorophosphonomethyl)-2-naphthalenyl]carbonyl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:883029 CAPLUS

DOCUMENT NUMBER: 134:360982

TITLE: Structural requirements to obtain potent CAAX mimic P21-ras farnesyltransferase inhibitors

AUTHOR(S): Laoui, Abdelazize

CORPORATE SOURCE: Medicinal Chemistry Department, Molecular Modelling Rhone-Poulenc Rorer S. A. - Centre de Recherches de Vitry-Alfortville, Vitry-sur-Seine, 94403, Fr.

SOURCE: Molecular Modeling and Prediction of Bioactivity, [Proceedings of the European Symposium on Quantitative Structure-Activity Relationships: Molecular Modeling and Prediction of Bioactivity], 12th, Copenhagen,

Denmark, Aug. 23-28, 1998 (2000), Meeting Date 1998,  
408-409. Editor(s): Gundertofte, Klaus; Jorgensen,  
Flemming Steen. Kluwer Academic/Plenum Publishers:  
New York, N. Y.  
CODEN: 69ASO3

DOCUMENT TYPE:

Conference

LANGUAGE:

English

AB Farnesyltransferase (FTase) farnesylates p21ras on the Cys residue of the C-terminal consensus sequence referred to as a CAAX box (C = cysteine, A = an aliphatic amino acid; X = any amino acid). This modification is required for membrane association and function of both normal and cell transforming ras activity. Computer modeling studies of corporate and competitor FTase inhibitors which have led to the identification of the structural requirements necessary to obtain potent inhibitors, are presented. Also, the strategy adopted to replace the oxidizable thiol function of inhouse inhibitors with alternative zinc chelating groups, is reported. The peptidomimetic strategy has allowed the development of a series of inhibitors derived from a known peptidic inhibitor.

IT 340720-03-8 340720-06-1 340720-07-2

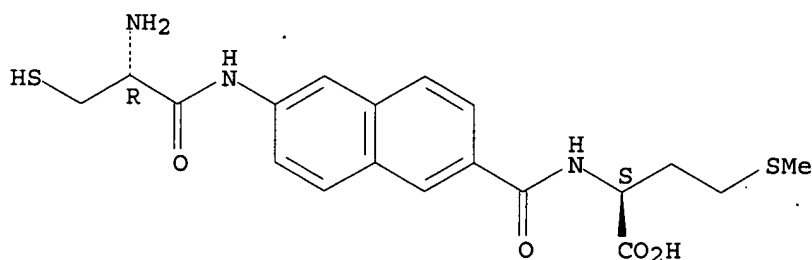
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(structural requirements to obtain potent CAAX mimic P21-ras farnesyltransferase inhibitors)

RN 340720-03-8 CAPLUS

CN L-Methionine, L-cysteiny-6-amino-2-naphthalenecarbonyl- (9CI) (CA INDEX NAME)

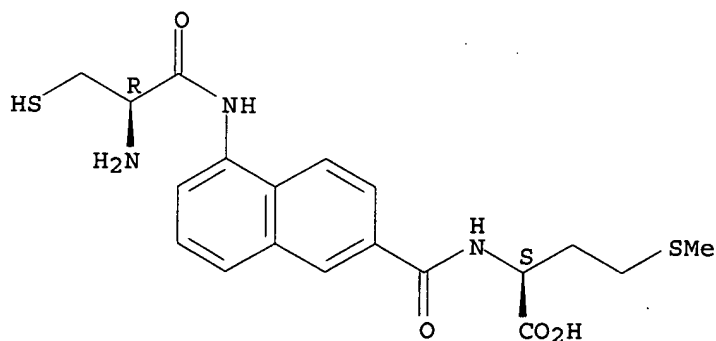
Absolute stereochemistry.



RN 340720-06-1 CAPLUS

CN L-Methionine, L-cysteiny-5-amino-2-naphthalenecarbonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

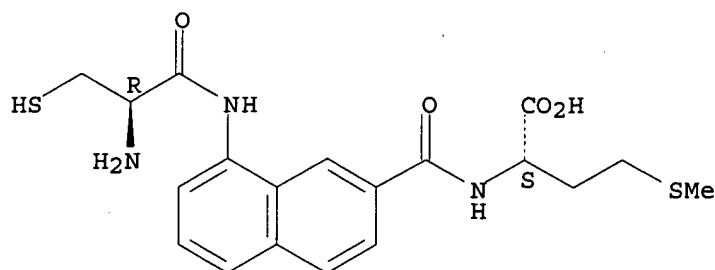


RN 340720-07-2 CAPLUS

CN L-Methionine, L-cysteiny-8-amino-2-naphthalenecarbonyl- (9CI) (CA INDEX NAME)

10/517,677

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:53681 CAPLUS

DOCUMENT NUMBER: 132:108302

TITLE: Preparation of CS-1 peptidomimetics and their compositions

INVENTOR(S): Arrhenius, Thomas S.; Elices, Mariano J.; Gaeta, Federico C. A.; He, Ya-Bo; Huyghe, Bernard G.; Chen, Paul G.

PATENT ASSIGNEE(S): Cytel Corporation, USA

SOURCE: PCT Int. Appl., 266 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000002903	A1	20000120	WO 1998-US26605	19981215
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9919153	A	20000201	AU 1999-19153	19981215
PRIORITY APPLN. INFO.:			US 1998-113689	A 19980710
			WO 1998-US26605	W 19981215

OTHER SOURCE(S): MARPAT 132:108302

AB Peptidomimetics R1CONR2CHR3CONR4CH(CONR5R6)CH2CO2H [R1 = alkyl, aminoalkyl, or a ring structure which may form at R1, between R1 and R2 or between R1 and R4; R2 = H, alkyl, phenylalkyl or R2 and R1 form the R1 ring structure group; R3 = alkyl, alkyl alc., thioalkyl, dialkyl thioether, or a ring structure; R4 = H or R4 and R1 form the R1 ring structure; R5 = H or R5 and R6 form a ring structure; R6 = benzyl, an optionally substituted 5-, 6-, or 7-membered heterocyclic ring containing 1 or 2 nitrogen atoms, a pyridobenzazepine moiety, or a group CHR7CO-AR8R9 (A = N and R7, R8, R9 = alkyl, a ring structure, etc. or A = O and R7 = alkyl, a ring structure, etc., R8 = alkyl, and R9 is absent)] were prepared as inhibitors of the binding between the VLA-4 receptor and the fibronectin CS-1 domain. Thus, N-phenylacetyl-L-Leu-Asp-Phe-D-Pro-NH2 was prepared and assayed for binding inhibition potency (313 relative to a standard compound).

IT 209602-54-0P

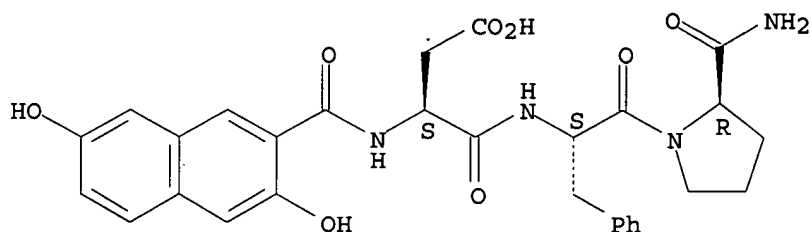
10/517,677

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of CS-1 peptidomimetics and their compns.)

RN 209602-54-0 CAPLUS

CN D-Prolinamide, N-[(3,7-dihydroxy-2-naphthalenyl)carbonyl]-L- $\alpha$ -aspartyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:505686 CAPLUS

DOCUMENT NUMBER: 131:139496

TITLE: Fibronectin CS-1 peptidomimetics for inhibiting binding of CS-1 to VLA-4 and for treating immunoinflammatory conditions

INVENTOR(S): Arrhenius, Thomas S.; Elices, Mariano J.; Gaeta, Federico C. A.

PATENT ASSIGNEE(S): Cytel Corporation, USA

SOURCE: U.S., 81 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5936065	A	19990810	US 1995-462424	19950605
CA 2177840	A1	19950615	CA 1994-2177840	19941205
CN 1142832	A	19970212	CN 1994-194969	19941205
US 5688913	A	19971118	US 1995-435286	19950505
US 6117840	A	20000912	US 1997-837154	19970414
US 6103870	A	20000815	US 1997-923026	19970903
PRIORITY APPLN. INFO.:			US 1993-164101	B2 19931206
			US 1994-349024	B2 19941202
			US 1995-435286	A1 19950505

OTHER SOURCE(S): MARPAT 131:139496

AB Peptidomimetic compds. are disclosed that inhibit the binding between the VLA-4 and the fibronectin CS-1 compound. Pharmaceutical compns. containing a contemplated compound and methods for treating immunoinflammatory conditions using the compound are also disclosed.

IT 209602-54-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(fibronectin CS-1 peptidomimetics for inhibiting binding of CS-1 to VLA-4 and for treating immunoinflammatory conditions)

RN 209602-54-0 CAPLUS

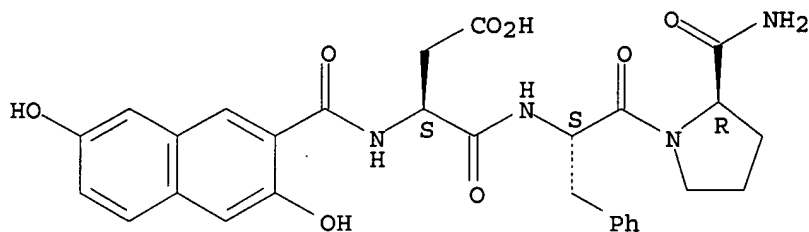
CN D-Prolinamide, N-[(3,7-dihydroxy-2-naphthalenyl)carbonyl]-L- $\alpha$ -



10/517,677

aspartyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 . ANSWER 18 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:325944 CAPLUS

DOCUMENT NUMBER: 130:338394

TITLE: Synthesis of phosphono-containing amino acid derivatives and peptides as signal transduction inhibitors

INVENTOR(S): Weigele, Manfred; Bohacek, Regine; Jacobsen, Virginia A.; Macek, Karina; Yang, Michael G.; Kawahata, Noriyuki H.; Sundaramoorthi, Rajeswari; Wang, Yihan; Takeuchi, Craig S.; Luke, George P.; Metcalf, Chester A., III; Shakespeare, William C.; Sawyer, Tomi

PATENT ASSIGNEE(S): Ariad Pharmaceuticals, Inc., USA; et al.

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924442	A1	19990520	WO 1998-US24168	19981112
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2309792	A1	19990520	CA 1998-2309792	19981112
AU 9914572	A	19990531	AU 1999-14572	19981112
EP 1030853	A1	20000830	EP 1998-958550	19981112
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
US 6576766	B1	20030610	US 2000-554230	20000817
PRIORITY APPLN. INFO.:			US 1997-968490	A 19971112
			WO 1998-US24168	W 19981112

OTHER SOURCE(S): MARPAT 130:338394

AB Title compds. Y-X-U-NR14(CR1R2)m-B [Y = (un)substituted PhMn, PhGMn, naphthyl-Mn, where G = O, S, NR [R = H, (un)substituted aliphatic, heteroaliph., aryl, heteroaryl, aryl aliph, or heteroaryl aliphatic moiety]; M = (un)substituted methylene, m = 0-2; X = (un)substituted methylene or imino; B = (un)substituted Ph or carbamoyl; U = CO, CS, M, SO, S02; R1 = H or (un)substituted aliph, Mn-cycloaliph., Mn-aryl, Mn-heterocyclic; R2 = H or (un)substituted aliphatic or R1 and R2 are covalently linked to form a

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ring; R14 = H or R] were prepared for inhibiting intracellular signal transduction. Thus, [(4-{(S)-2-acetylamino-2-[(S)-1-(3-carbamoyl-4-cyclohexylmethoxyphenyl)ethylcarbamoyl]ethyl}phenyl)phosphonomethyl]phosphonic acid was synthesized by a multistep procedure starting from

IT 224445-32-3P 224445-33-4P

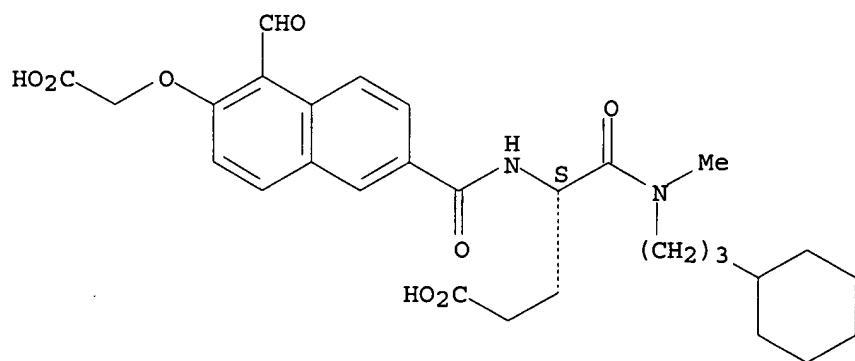
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of phosphono-containing amino acid derivs. and peptides as signal transduction inhibitors)

RN 224445-32-3 CAPLUS

CN Pentanoic acid, 4-[[[6-(carboxymethoxy)-5-formyl-2-naphthalenyl]carbonyl]amino]-5-[(3-cyclohexylpropyl)methylamino]-5-oxo-, (4S) - (9CI) (CA INDEX NAME)

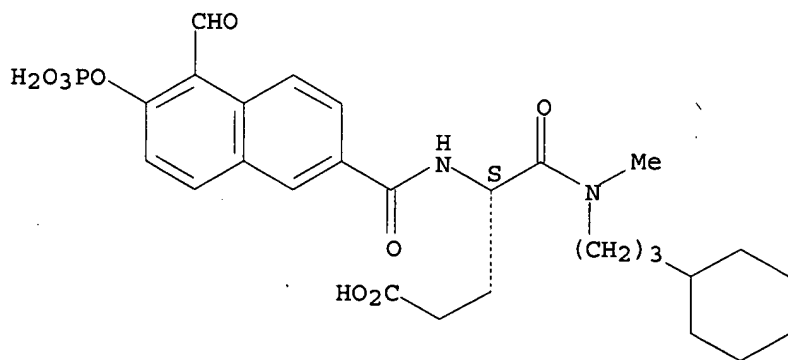
Absolute stereochemistry.



RN 224445-33-4 CAPLUS

CN Pentanoic acid, 5-[(3-cyclohexylpropyl)methylamino]-4-[[[5-formyl-6-(phosphonooxy)-2-naphthalenyl]carbonyl]amino]-5-oxo-, (4S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:260789 CAPLUS

DOCUMENT NUMBER: 130:344973

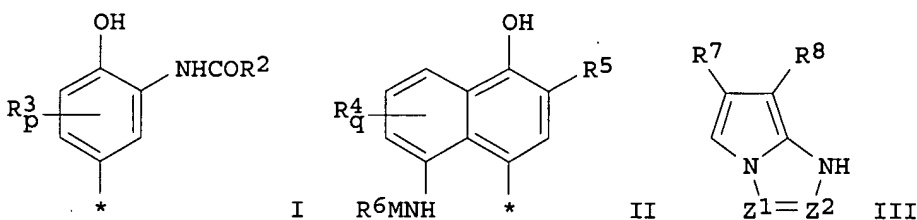
TITLE: Silver halide photographic material for color filter formation

INVENTOR(S): Mizukawa, Hiroki

10/517,677

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 48 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11109123	A	19990423	JP 1997-267112	19970930
PRIORITY APPLN. INFO.:			JP 1997-267112	19970930
OTHER SOURCE(S):	MARPAT 130:344973			
GI				



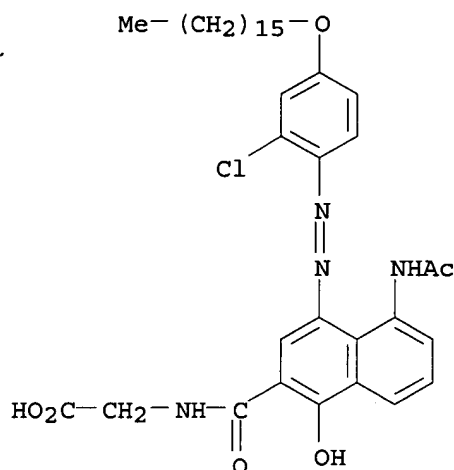
AB The material contains a red dye- or a magenta dye-releasing coupler having a formula Q1(TIME)nLmDY or a red or magenta colored coupler having a formula Q2N:NR1 [Q1, 2 = coupler residue I, II, or III; TIME = timing group that releases (TIME)n-1LmDY after eliminating Q1 or timing group that releases (TIME)n-2LmDY after being eliminated from TIME; R1 = aryl, heterocyclic; n, m = 0, 1, 2, 3; L = divalent group; DY = red or magenta dye residue; R2 = alkyl, cycloalkyl, alkenyl, aryl, heterocyclic, alkoxy, cycloalkyloxy, alkenyloxy, aryloxy, alkylamino, cycloalkylamino, alkenylamino, arylamino, heterocyclic amino; R3, 4 = substituent; p = 0-3 integer; R5, 7, 8 = H, substituent; q = 0-4 integer; M = CO, SO2; R6 = alkyl, cycloalkyl, aryl, heterocyclic, alkoxy, cycloalkyloxy, aryloxy, heterocycloxy, alkylamino, cycloalkylamino, arylamino, heterocyclic amino; Z1, 2 = N, CR9; R9 = H, alkyl, cycloalkyl, alkenyl, aryl, heterocyclic]. The method involves exposing the material, color-developing, and desilverizing to obtain the filter having a blue, green, and red pixel pattern. The filter contains the coupler. The filter with light transmittance, excellent heat and light fastness, and thin film thickness is manufactured using the material.

IT 223734-73-4

RL: TEM (Technical or engineered material use); USES (Uses)  
 (Ag halide photog. material for color filter containing red or magenta coupler)

RN 223734-73-4 CAPLUS

CN Glycine, N-[[5-(acetylamino)-4-[[2-chloro-4-(hexadecyloxy)phenyl]azo]-1-hydroxy-2-naphthalenyl]carbonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:771963 CAPLUS

DOCUMENT NUMBER: 130:135821

TITLE: Structural Basis for Inhibition of the Protein Tyrosine Phosphatase 1B by Phosphotyrosine Peptide Mimetics

AUTHOR(S): Groves, Matthew R.; Yao, Zhu-Jun; Roller, Peter P.; Burke, Terrence R., Jr.; Barford, David

CORPORATE SOURCE: Laboratory of Molecular Biophysics Department of Biochemistry, University of Oxford, Oxford, OX1 3QU, UK

SOURCE: Biochemistry (1998), 37(51), 17773-17783

CODEN: BICHAW; ISSN: 0006-2960

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Protein tyrosine phosphatases regulate diverse cellular processes and represent important targets for therapeutic intervention in a number of diseases. The crystal structures of protein tyrosine phosphatase 1B (PTP1B) in complex with small mol. inhibitors based upon two classes of phosphotyrosine mimetics, the (difluoronaphthylmethyl)phosphonic acids and the fluoromalonyl tyrosines, have been determined to resolutions greater than 2.3 Å. The fluoromalonyl tyrosine residue was incorporated within a cyclic hexapeptide modeled on an autophosphorylation site of the epidermal growth factor receptor. The structure of this inhibitor bound to PTP1B represents the first crystal structure of a non-phosphonate-containing inhibitor and reveals the mechanism of phosphotyrosine mimicry by the fluoromalonyl tyrosine residue and the nature of its interactions within the catalytic site of PTP1B. In contrast to complexes of PTP1B with phosphotyrosine-containing peptides, binding of the fluoromalonyl tyrosine residue to the catalytic site of PTP1B is not accompanied by closure of the catalytic site WPD loop. Structures of PTP1B in complex with the (difluoronaphthylmethyl)phosphonic acid derivs. reveal that substitutions of the naphthalene ring modulate the mode of inhibitor binding to the catalytic site and provide the potential for enhanced inhibitor affinity and the generation of PTP-specific inhibitors. These results provide a framework for the rational design of higher affinity and more specific phosphotyrosine mimetic inhibitors of not only protein tyrosine phosphatases but also SH2 and PTB domains.

IT 219316-41-3

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

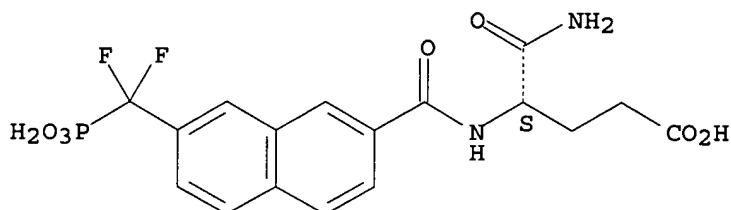
10/517,677

(structural basis for inhibition of protein tyrosine phosphatase 1B by phosphotyrosine peptide mimetics)

RN 219316-41-3 CAPLUS

CN Pentanoic acid, 5-amino-4-[[[7-(difluorophosphonomethyl)-2-naphthalenyl]carbonyl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:737268 CAPLUS

DOCUMENT NUMBER: 130:95825

TITLE: Structure-based design and synthesis of small molecule protein-tyrosine phosphatase 1B inhibitors

AUTHOR(S): Yao, Zhu-Jun; Ye, Bin; Wu, Xiong-Wu; Wang, Shaomeng; Wu, Li; Zhang, Zhong-Yin; Burke, Terrence R., Jr.

CORPORATE SOURCE: Laboratory of Medicinal Chemistry, Division of Basic Sciences, National Cancer Institute, National Institutes of Health, Bethesda, MD, 20892, USA

SOURCE: Bioorganic & Medicinal Chemistry (1998), 6(10), 1799-1810

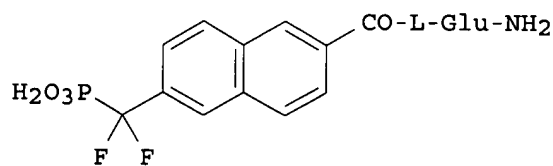
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

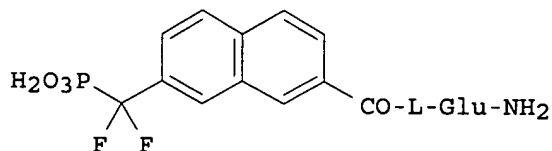
DOCUMENT TYPE: Journal

LANGUAGE: English

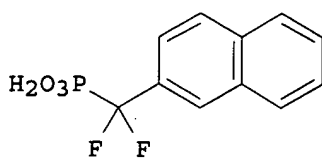
GI



I



II



III

AB Protein-tyrosine phosphatase (PTP) inhibitors are attractive as potential

signal transduction-directed therapeutics which may be useful in the treatment of a variety of diseases. New naphthyldifluoromethyl phosphonic acids I and II were designed bearing acidic functionality intended to interact with the protein-tyrosine phosphatase 1B (PTP1B) Arg47, which is situated just outside the catalytic pocket. This residue has been shown previously to provide key interactions with acidic residues of phosphotyrosyl-containing peptide substrates. Consistent with trends predicted by mol. dynamics calcns., the new analogs bound with 7- to 14-fold higher affinity than the parent III, in principal validating the design rationale.

IT 219316-40-2P 219316-41-3P

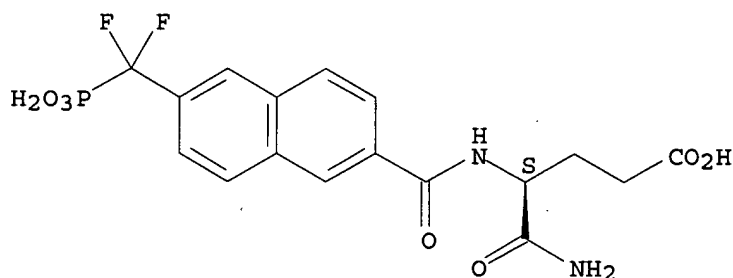
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of glutamate-substituted naphthyldifluoromethylphosphonic acids as protein-tyrosine phosphatase 1B inhibitors)

RN 219316-40-2 CAPLUS

CN Pentanoic acid, 5-amino-4-[[[6-(difluorophosphonomethyl)-2-naphthalenyl]carbonyl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

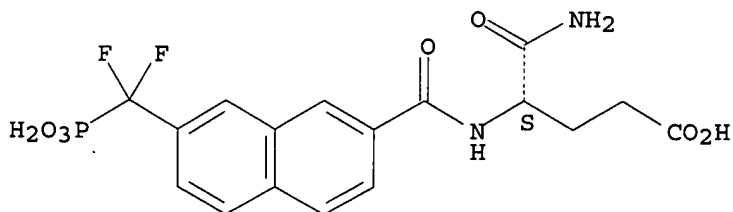
Absolute stereochemistry.



RN 219316-41-3 CAPLUS

CN Pentanoic acid, 5-amino-4-[[[7-(difluorophosphonomethyl)-2-naphthalenyl]carbonyl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:689253 CAPLUS

DOCUMENT NUMBER: 129:283377

TITLE: Color photographic material with non-diffusive 2-equivalent coupler

INVENTOR(S): Bell, Peter; Borst, Hans-Ulrich; Buescher, Ralf; Siegel, Joerg

PATENT ASSIGNEE(S): Agfa Gevaert A.-G., Germany

SOURCE: Ger. Offen., 16 pp.

CODEN: GWXXBX

10/517,677

DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19712692	A1	19981001	DE 1997-19712692	19970326
US 6083675	A	20000704	US 1998-44782	19980319

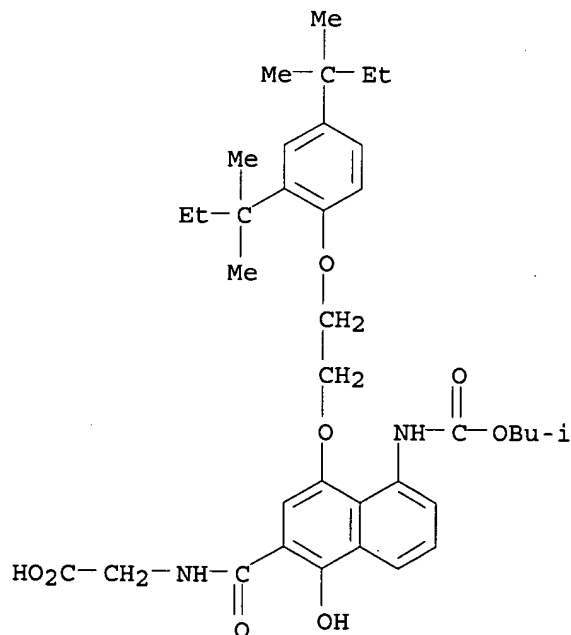
PRIORITY APPLN. INFO.: DE 1997-19712692 A 19970326

AB In the title material comprising at least 1 cyan coupler-containing, red-sensitive Ag halide emulsion layer, at least 1 magenta coupler-containing, green-sensitive Ag halide emulsion layer, at least 1 yellow coupler-containing, Ag halide emulsion layer, and optionally further light-insensitive layers, at least 1 of the Ag halide emulsion layers contains a non-diffusive 2-equiv color coupler. The material shows improved sensitivity/graininess or gradation/graininess relation.

IT 213980-41-7  
RL: MOA (Modifier or additive use); USES (Uses)  
(color photog. material with non-diffusive 2-equiv coupler)

RN 213980-41-7 CAPLUS

CN Glycine, N-[[4-[2-[2,4-bis(1,1-dimethylpropyl)phenoxy]ethoxy]-1-hydroxy-5-[[2-methylpropoxy)carbonyl]amino]-2-naphthalenyl]carbonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:677821 CAPLUS

DOCUMENT NUMBER: 129:302890

TITLE: Treatment of cancer using a combination of integrin antagonists and farnesyl protein transferase inhibitors.

INVENTOR(S): Duggan, Mark E.; Hartman, George D.; Heimbrook, David C.; Oliff, Allen I.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 422 pp.  
CODEN: PIXXD2

10/517,677

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9844797	A1	19981015	WO 1998-US6823	19980406
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2286239	A1	19981015	CA 1998-2286239	19980406
AU 9869532	A	19981030	AU 1998-69532	19980406
AU 724216	B2	20000914		
EP 973396	A1	20000126	EP 1998-915318	19980406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001524079	T	20011127	JP 1998-543013	19980406
PRIORITY APPLN. INFO.:			US 1997-41923P	P 19970407
			GB 1998-976	A 19980116
			WO 1998-US6823	W 19980406

OTHER SOURCE(S): MARPAT 129:302890

AB A method of achieving a therapeutic effect comprising administration of an integrin antagonist and a farnesyl-protein transferase inhibitor where the amount of either alone is insufficient to achieve the effect, is claimed (no data). Amino acid and peptide derivs., e.g., N-[(2R)-amino-3-mercaptopropyl]valylisoleucylleucine, were prepared

IT 206997-13-9 206997-24-2 206997-25-3

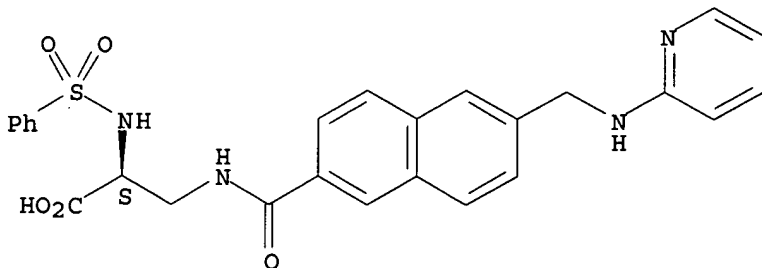
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(treatment of cancer using a combination of integrin antagonists and farnesyl protein transferase inhibitors)

RN 206997-13-9 CAPLUS

CN L-Alanine, N-(phenylsulfonyl)-3-[[[6-[(2-pyridinylamino)methyl]-2-naphthalenyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



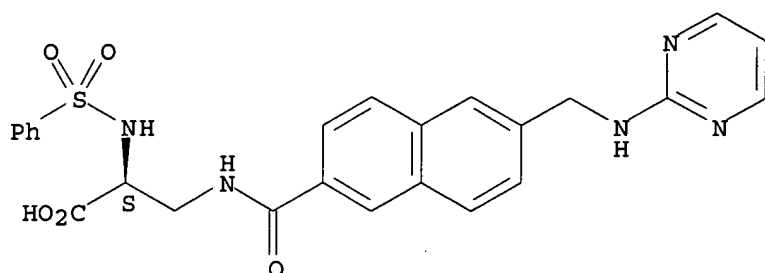
RN 206997-24-2 CAPLUS

CN L-Alanine, N-(phenylsulfonyl)-3-[[[6-[(2-pyrimidinylamino)methyl]-2-naphthalenyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

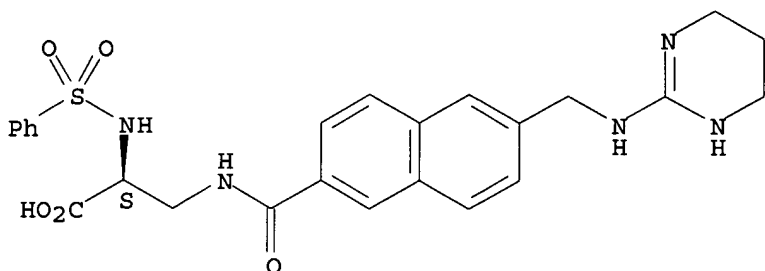


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RN 206997-25-3 CAPLUS  
CN L-Alanine, N-(phenylsulfonyl)-3-[[[6-[[[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]methyl]-2-naphthalenyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



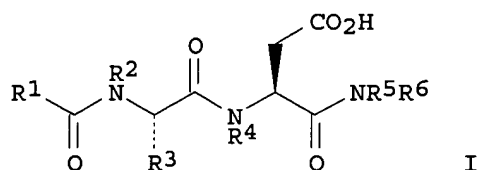
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1998:668012 CAPLUS  
DOCUMENT NUMBER: 129:290438  
TITLE: Preparation of CS-1 peptidomimetics and their compositions  
INVENTOR(S): Arrhenius, Thomas S.; Elices, Mariano J.; Gaeta, Federico C. A.  
PATENT ASSIGNEE(S): Cytel Corp., USA  
SOURCE: U.S., 81 pp., Cont.-in-part of U.S. Ser. No. 349,024.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 4  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5821231	A	19981013	US 1995-461056	19950605
CA 2177840	A1	19950615	CA 1994-2177840	19941205
CN 1142832	A	19970212	CN 1994-194969	19941205
US 5688913	A	19971118	US 1995-435286	19950505
US 6117840	A	20000912	US 1997-837154	19970414
US 6103870	A	20000815	US 1997-923026	19970903
PRIORITY APPLN. INFO.:			US 1993-164101	B2 19931206
			US 1994-349024	A2 19941202
			US 1995-435286	A1 19950505

OTHER SOURCE(S): MARPAT 129:290438  
GI

10/517,677



AB Peptidomimetics I (R1 = alkyl, aminoalkyl, or a ring structure which may form at R1, between R1 and R2 or between R1 and R4; R2 = H, Me or R2 and R1 form the R1 ring structure group; R3 = alkyl, alkyl alc., thioalkyl or a ring structure; R4 = H or R4 and R1 form the R1 ring structure; R5 = H or R5 and R6 form a ring structure; R6 = benzyl, 1,1-diphenylmethine, or the R5 ring structure) were prepared as inhibitors of the binding between the VLA-4 receptor and the fibronectin CS-1 domain. Thus, N-phenylacetyl-Leu-Asp-Phe-D-Pro-NH2 was prepared and assayed for binding inhibition potency (313 relative to a standard compound).

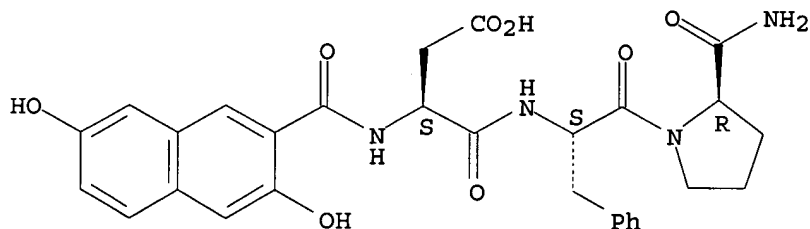
IT 209602-54-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of CS-1 peptidomimetics and their compns.)

RN 209602-54-0 CAPLUS

CN D-Prolinamide, N-[(3,7-dihydroxy-2-naphthalenyl)carbonyl]-L- $\alpha$ -aspartyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:427769 CAPLUS

DOCUMENT NUMBER: 129:95722

TITLE: Preparation of CS-1 peptidomimetics and their compositions

INVENTOR(S): Arrhenius, Thomas S.; Elices, Mariano J.; Gaeta, Federico C. A.

PATENT ASSIGNEE(S): Cytel Corp., USA

SOURCE: U.S., 80 pp., Cont.-in-part of U.S. Ser. No. 349,024.  
CODEN: USXXAM

DOCUMENT TYPE: Patent

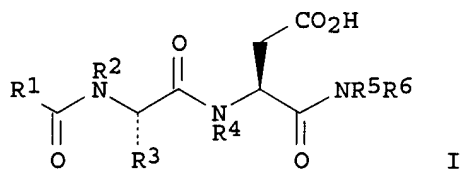
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

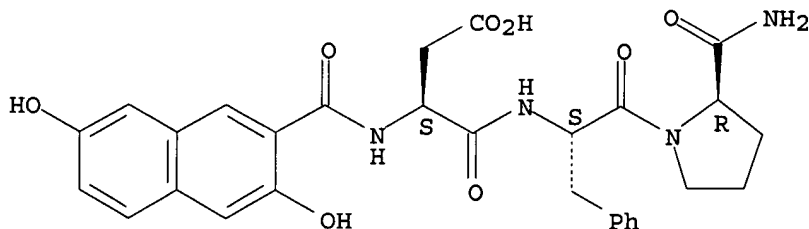
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5770573	A	19980623	US 1995-462219	19950605
CA 2177840	A1	19950615	CA 1994-2177840	19941205

CN 1142832	A	19970212	CN 1994-194969	19941205
US 5688913	A	19971118	US 1995-435286	19950505
US 6117840	A	20000912	US 1997-837154	19970414
US 6103870	A	20000815	US 1997-923026	19970903
PRIORITY APPLN. INFO.:			US 1993-164101	B2 19931206
			US 1994-349024	A2 19941202
			US 1995-435286	A1 19950505
OTHER SOURCE(S):		MARPAT 129:95722		
GI				



IT 209602-54-0P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of CS-1 peptidomimetics and their compns.)  
RN 209602-54-0 CAPLUS  
CN D-Prolinamide, N-[(3,7-dihydroxy-2-naphthalenyl)carbonyl]-L- $\alpha$ -aspartyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1998:293369 CAPLUS  
DOCUMENT NUMBER: 128:321934  
TITLE: Preparation of amino acid derivatives as integrin  
antagonists  
INVENTOR(S): Duggan, Mark E.; Hartman, George D.; Hoffman, William  
F.; Ihle, Nathan C.  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Duggan, Mark E.; Hartman,  
George D.; Hoffman, William F.; Ihle, Nathan C.  
SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9818461	A1	19980507	WO 1997-US19349	19971027
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2268916	A1	19980507	CA 1997-2268916	19971027
AU 9850884	A	19980522	AU 1998-50884	19971027
AU 717283	B2	20000323		
EP 946164	A1	19991006	EP 1997-913775	19971027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001504456	T	20010403	JP 1998-520639	19971027
US 5919792	A	19990706	US 1997-959662	19971028
PRIORITY APPLN. INFO.:			US 1996-29223P	P 19961030
			GB 1996-26308	A 19961218
			WO 1997-US19349	W 19971027

OTHER SOURCE(S): MARPAT 128:321934

AB Amino acids derivs. X-Y-Z-Ring-A-B [Ring is a mono- or polycyclic ring system; X = NR1R2, NR1CR3:NR2, C(:NR2)NHR4, NR1C(:NR2)NR3R4, aryl-NR1R2, aryl-C(:NR1)NR2R3, aryl-NR1C(:NR2)NR3R4, (R1-R4 = H, halo, alkyl, arylalkyl, aminoalkyl, etc.), a mono- or polycyclic ring system; Y = alkylene, imino-, carbonyl-, oxydialkylene, etc.; Z = (CH2)m, (CH2)mO(CH2)n, (CH2)mC.tplbond.C(CH2)n, etc. (m, n = 0-6); A = (CH2)qO(CH2)p, (CH2)qCS(CH2)p (p, q = 0-6), etc.; B = (un)substituted carboxy- or carbamoylalkyl, including amino acid residues] were prepared as vitronectin receptor antagonists. Thus, 4-[2-(2-aminopyridin-6-yl)ethyl]benzoyl-2(S)-[[[4-125iodophenyl)sulfonyl]amino]-β-alanine was prepared and used in a formulation for inhibition of bone resorption.

IT 206997-24-2P

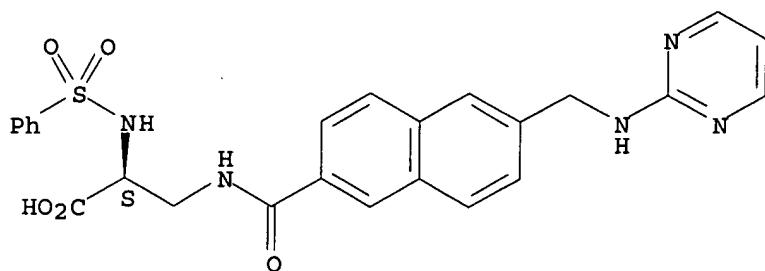
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of amino acid derivs. as integrin antagonists)

RN 206997-24-2 CAPLUS

CN L-Alanine, N-(phenylsulfonyl)-3-[[[6-[(2-pyrimidinylamino)methyl]-2-naphthalenyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

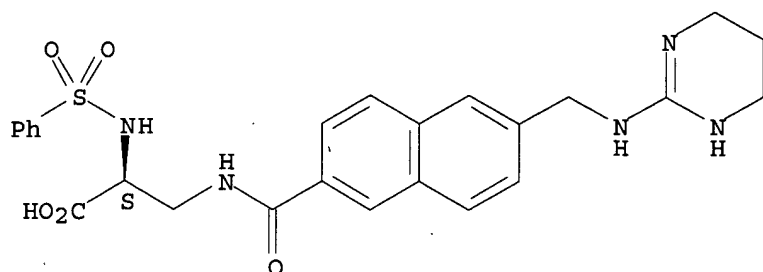


IT 206997-13-9P 206997-14-0P 206997-25-3P

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NAME)

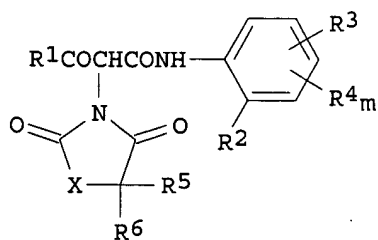
Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1997:480308 CAPLUS  
DOCUMENT NUMBER: 127:101700  
TITLE: Silver halide color photographic material containing pyvaloylacetic acid yellow coupler and oxidized developer scavenger  
INVENTOR(S): Ishii, Yoshio; Kobayashi, Hidetoshi; Obayashi, Keiji  
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 68 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09146237	A	19970606	JP 1995-322430	19951117
PRIORITY APPLN. INFO.: GI			JP 1995-322430	19951117



I

AB Claimed photog. color material having  $\geq 1$  light-sensitive Ag halide emulsion layer and  $\geq 1$  light-insensitive layer contains a coupler I (R1 = tert-alkyl; R2 = halo, alkoxy, aryloxy, alkyl, alkylsulfonyloxy, cycloalkyl; R3 = alkoxy-carbonyloxy, alkylsulfonyloxy; R4 = halo, alkyl, heterocyclic group; n = 0, 1, 2; R5, R6 = H, alkyl; X = O, S, imino) and a compound having the structure (coup)-(time)-(s.c.) (II), where coup is a coupler moiety, time is a timing group to control the releasing rate and s.c. is scavenger of oxidized developing material. It has high speed and low fog. It also improves storage stability, and suitably applied to a multilayer color neg. material. Suitable couplers are coupler I (R1 =

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tert-butyl; R2 = Cl; R3 = n-tetradecyloxycarbonyl; n = 0; R5, R6 = Me; X = NCH3), coupler I (R1 = tert-butyl; R2 = Cl; R3 = n-tetradecyloxycarbonyl; n = 0; R5, R6 = Me; X = O), etc., and suitable compound II is 2-carboxyethylcarbamino-4-dodecyloxyethylcarbamoylmethoxy-naphthol.

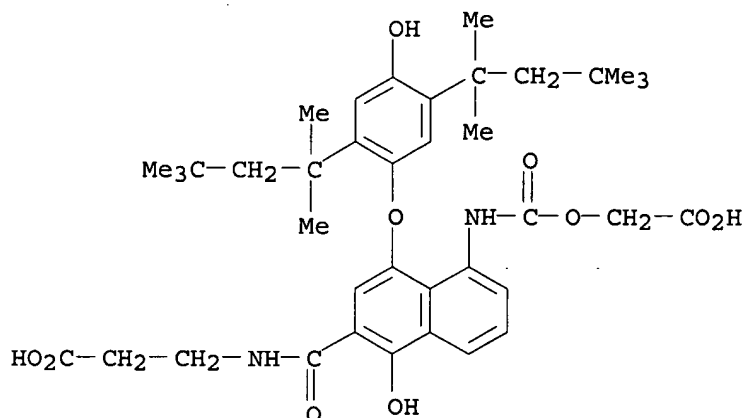
IT 189264-50-4

RL: DEV (Device component use); USES (Uses)

(oxidized developer scavenger; color photog. material containing pyvaloylacetanilide yellow coupler to improve storage stability)

RN 189264-50-4 CAPLUS

CN  $\beta$ -Alanine, N-[[5-[[[(carboxymethoxy)carbonyl]amino]-1-hydroxy-4-[4-hydroxy-2,5-bis(1,1,3,3-tetramethylbutyl)phenoxy]-2-naphthalenyl]carbonyl]-(9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:334667 CAPLUS

DOCUMENT NUMBER: 126:310419

TITLE: Full color silver halide photographic material containing hydroxylamines or hydroxamic acids

INVENTOR(S): Obayashi, Keiji; Ishii, Yoshio

PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 93 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09068784	A	19970311	JP 1995-245199	19950831
PRIORITY APPLN. INFO.:			JP 1995-245199	19950831

AB The title photog. material contains a compound selected from R1R2NOH, X(R3)NOH and (R1 = alkyl, alkenyl, aryl, acyl, alkyl- or aryl-substituted sulfonyl or sulfinyl, carbamoyl, sulfamoyl, alkoxycarbonyl, aryloxy carbonyl; R2 = H or group defined for R1; R1 and R2 may joint to form a 5-7-membered ring except s-triazine; X = heterocyclic ring except s-triazine; R3 = alkyl, alkenyl, aryl; x and R3 may joint to form a 5-7-membered ring except s-triazine; Y = non-metallic atoms required to form 5-6-membered ring except s-triazine) and a compound or its precursor capable of scavenging the oxidized developer. The invention photog. material can prevent color from mixing.

IT 189264-50-4

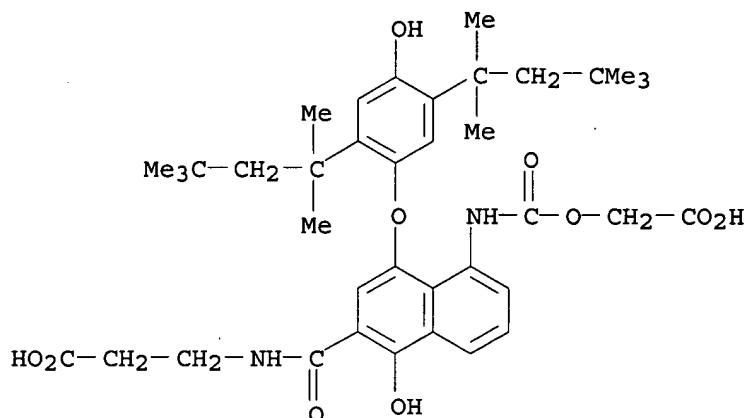
RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)

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(oxidized developer scavenger contained in photog. material for preventing color mixing)

RN 189264-50-4 CAPLUS

CN  $\beta$ -Alanine, N-[[5-[[[(carboxymethoxy) carbonyl] amino]-1-hydroxy-4-[4-hydroxy-2,5-bis(1,1,3,3-tetramethylbutyl)phenoxy]-2-naphthalenyl]carbonyl]-(9CI) (CA INDEX NAME)



L4 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1992:72137 CAPLUS

DOCUMENT NUMBER: 116:72137

TITLE: Silver halide positive color photographic materials, and their processing

INVENTOR(S): Sakagami, Megumi; Ichijima, Yasushi

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03096945	A	19910422	JP 1989-235077	19890911
PRIORITY APPLN. INFO.: GI			JP 1989-235077	19890911

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title materials contain compds. CpN:NR(ballast) or compds. (DyeCp)X(ballast) [Cp = coupling group; Cp and (DyeCp) groups have alkali dissociation groups that may be in salt form; Dye = dye residue; R = divalent group with  $\geq 1$  unsatd. group that conjugates with N:N; X = leaving group; (ballast) = ballast group; -N:NR(ballast) group is bonded to coupling position of Cp]. Exposed materials are processed by color development and desilvering. These materials provide color pos. image without reversal process by simple procedure. Thus, in a 9-layer color photog. film, the 3rd layer was a red-sensitive emulsion layer containing compound I, the 5th layer was a green-sensitive emulsion layer containing compound II, and the 7th was a blue-sensitive emulsion layer containing compound III.

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Imagewise exposed film was processed by color development, bleaching, and bleach-fixing and gave pos. image with min. d.  $\leq 0.2$  for each color.

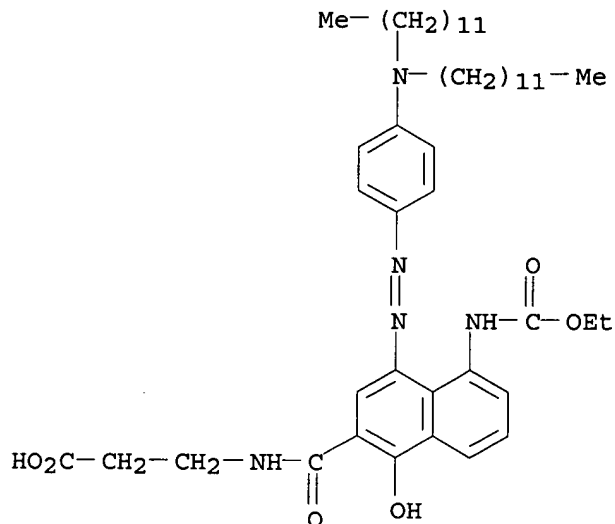
IT 137052-11-0

RL: USES (Uses)

(coupler, for pos. color film without reversal process)

RN 137052-11-0 CAPLUS

CN  $\beta$ -Alanine, N-[[4-[[4-(didodecylamino)phenyl]azo]-5-[(ethoxycarbonyl)amino]-1-hydroxy-2-naphthalenyl]carbonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:619508 CAPLUS

DOCUMENT NUMBER: 109:219508

TITLE: Silver halide color photographic photosensitive materials containing novel couplers

INVENTOR(S): Ninomiya, Hidetaka; Kimura, Toshihiko; Masukawa, Toyooki; Tsuda, Yasuo; Nakayama, Noritaka

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.

CODEN: JKXXAF

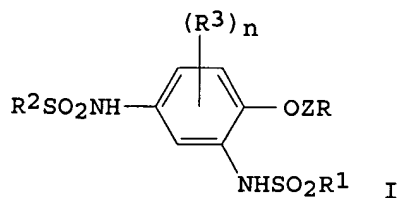
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63110451	A	19880514	JP 1986-257995	19861029
PRIORITY APPLN. INFO.: GI			JP 1986-257995	19861029





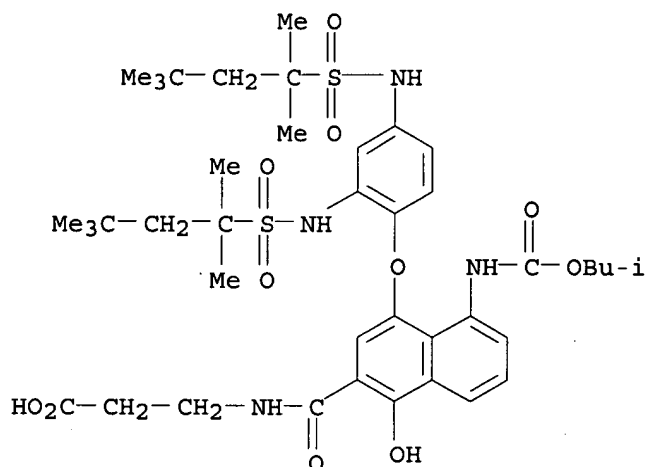
10/517,677

AB The title color photog. materials contain couplers of the formula I (Z = naphthol or phenol derivative type coupler moiety; R = hydrophilic group; R1, R2 = alkyl, alkenyl, aryl, heterocyclyl; R3 = substituent; n = 0, 1, 2; Z and R are selected that the dye formed by reaction of the coupler moiety with oxidized developing agent will not remain in the photosensitive material after the processing). The coupler releases a reducing agent upon coupling reaction to scavenge the oxidized color developing agent.

IT 117568-94-2  
RL: USES (Uses)  
(oxidized developer scavenger-releasing photog. coupler)

RN 117568-94-2 CAPLUS

CN  $\beta$ -Alanine, N-[[4-[2,4-bis[[[(1,1,3,3-tetramethylbutyl)sulfonyl]amino]p  
henoxy]-1-hydroxy-5-[[[(2-methylpropoxy)carbonyl]amino]-2-  
naphthalenyl]carbonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:493611 CAPLUS

DOCUMENT NUMBER: 109:93611

TITLE: Preparation and testing of  
(aminopropoxy)naphthylcarboxamidopentylalanylprolines  
and indole analogs as cardiovascular agents

INVENTOR(S): Allan, Geoffrey; Hardy, George William; Bull, Donald;  
Mills, Gail; Lee, Grahame Roy

PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK

SOURCE: Eur. Pat. Appl., 43 pp.  
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 234946	A2	19870902	EP 1987-301740	19870227
EP 234946	A3	19880817		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DK 8701033	A	19870829	DK 1987-1033	19870227
FI 8700872	A	19870829	FI 1987-872	19870227
AU 8769536	A	19870903	AU 1987-69536	19870227
JP 62252799	A	19871104	JP 1987-45119	19870227

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HU 46045	A2	19880928	HU 1987-816	19870227
ZA 8701454	A	19881026	ZA 1987-1454	19870227
DD 263052	A5	19881221	DD 1987-300269	19870227
PRIORITY APPLN. INFO.:			GB 1986-5049	A 19860228
			GB 1986-20767	A 19860828

OTHER SOURCE(S): MARPAT 109:93611

AB Me<sub>2</sub>CHNHCH<sub>2</sub>CH(OH)CH<sub>2</sub>OXCONH(CH<sub>2</sub>)<sub>4</sub>CHZNHCHMeCOY (I; X = naphthyl, indolyl ring system; Y = CO<sub>2</sub>H, C<sub>2</sub>-5 alkoxy carbonyl; Z = carboxypyrrolidinyl) were prepared as antihypertensives. Me 4-hydroxyindole-2-carboxylate (preparation given) was treated with NaH in DMF and 2S-glycidyl tosylate was added at 0°. The mixture was stirred 3 h at 50° to give the 4-oxiranylmethoxy compound, which was heated with Me<sub>2</sub>CHNH<sub>2</sub> in DMF/H<sub>2</sub>O to give Me 4-[2(S)-hydroxy-3-isopropylamino]-1H-indole-2-carboxylate. The latter was N-protected, saponified, coupled with tert-Bu N-[1(S)-tert-butoxycarbonyl-5-aminopentyl]-(S)alanyl-(S)-proline (preparation given) to give N-1S-carboxy-5-[4-(2S-hydroxy-3-isopropylaminopropoxy)-1H-indol-2-ylcarboxamido]pentyl-S-alanyl-S-proline. The latter inhibited ACE in a test of angiotensin-I-induced pig ileum contractility with an EC<sub>50</sub> of 1.4 nM.

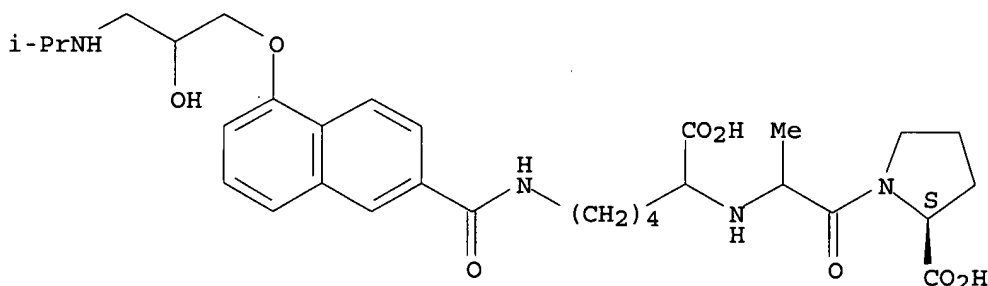
IT 115724-72-6P 115724-73-7P 115724-74-8P  
115724-75-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation of, as cardiovascular agent)

RN 115724-72-6 CAPLUS

CN L-Proline, 1-[N-[1-carboxy-5-[[5-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]-2-naphthalenyl]carbonyl]amino]pentyl]alanyl]-(9CI) (CA INDEX NAME)

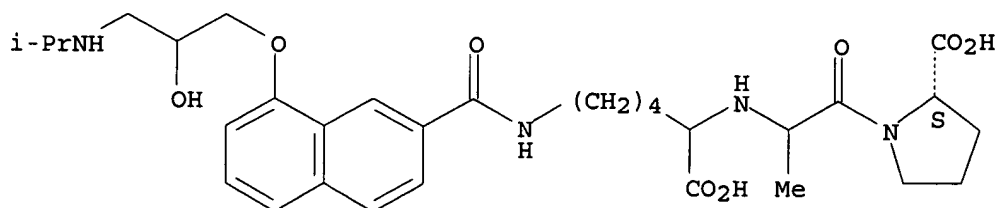
Absolute stereochemistry.



RN 115724-73-7 CAPLUS

CN L-Proline, 1-[N-[1-carboxy-5-[[8-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]-2-naphthalenyl]carbonyl]amino]pentyl]alanyl]-(9CI) (CA INDEX NAME)

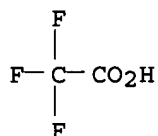
Absolute stereochemistry.



RN 115724-74-8 CAPLUS

CN L-Proline, 1-[N-[1-carboxy-5-[[5-[2-hydroxy-3-[(1-

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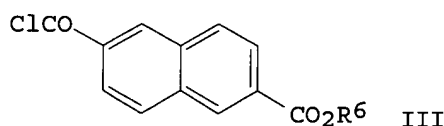
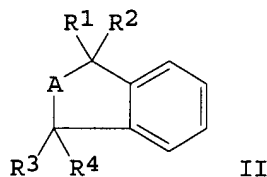
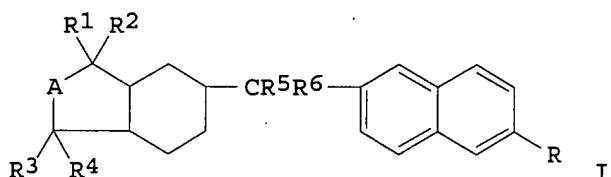
L4 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1987:617258 CAPLUS  
 DOCUMENT NUMBER: 107:217258  
 TITLE: Bicyclic naphthalenic derivatives, a process for their preparation and their use in pharmaceuticals and cosmetics  
 INVENTOR(S): Maignan, Jean; Lang, Gerard; Malle, Gerard; Restle, Serge; Shroot, Braham  
 PATENT ASSIGNEE(S): Centre International de Recherches Dermatologiques (CIRD), Fr.  
 SOURCE: Eur. Pat. Appl., 43 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 220118	A2	19870429	EP 1986-402257	19861010
EP 220118	A3	19881109		
EP 220118	B1	19920102		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
FR 2590566	A1	19870529	FR 1985-15106	19851011
FR 2590566	B1	19871231		
FR 2601359	A1	19880115	FR 1986-10020	19860709
FR 2601359	B1	19881028		
ZA 8607705	A	19870624	ZA 1986-7705	19861009
DK 8604848	A	19870412	DK 1986-4848	19861010
DK 171347	B1	19960916		
FI 8604106	A	19870412	FI 1986-4106	19861010
FI 87455	B	19920930		
FI 87455	C	19930111		
NO 8604040	A	19870413	NO 1986-4040	19861010
NO 164971	B	19900827		
NO 164971	C	19910611		
AU 8663859	A	19870416	AU 1986-63859	19861010
AU 588385	B2	19890914		
CA 1267420	A1	19900403	CA 1986-520279	19861010
CA 1270766	A1	19900626	CA 1986-520278	19861010
AT 71080	T	19920115	AT 1986-402257	19861010
ES 2003065	T3	19930801	ES 1986-402257	19861010
JP 62135441	A	19870618	JP 1986-240222	19861011
US 4826969	A	19890502	US 1986-918507	19861014
NO 8904197	A	19870413	NO 1989-4197	19891020
NO 166483	B	19910422		
NO 166483	C	19910731		
FI 90528	B	19931115	FI 1991-4628	19911002
FI 90528	C	19940225		
PRIORITY APPLN. INFO.:				
			FR 1985-15106	A 19851011
			FR 1986-10020	A 19860709
			EP 1986-402257	A 19861010
			NO 1986-4040	A1 19861010

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OTHER SOURCE(S):  
GI

CASREACT 107:217258; MARPAT 107:217258



AB Title compds. I [A = (alkyl-substituted) CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>; R<sup>1</sup>-R<sup>4</sup> = H, C<sub>1</sub>-6 alkyl; R<sup>1</sup>R<sup>3</sup> = CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>; R<sup>5</sup> = H, OH, alkoxy, amino; R<sup>6</sup> = H, alkyl; R<sup>5</sup>R<sup>6</sup> = O, CH<sub>2</sub>, NHOH; R = CH<sub>2</sub>OH, CHO, acyl, amido] are prepared and formulated into pharmaceuticals for treatment of dermatol., respiratory, or ophthalmol. conditions, and cosmetics for body and hair hygiene. Indane II (A = CH<sub>2</sub>, R<sup>1</sup>-R<sup>4</sup> = Me) was acylated by naphthalenoyl chloride III (R<sup>6</sup> = Me) to give I (R<sup>5</sup>R<sup>6</sup> = O; R = CO<sub>2</sub>Me), which was saponified to form I (R = CO<sub>2</sub>H) (IV). Tablets were formed from IV 0.005, amidon 0.110, bicalcium phosphate 0.020, silica 0.020, lactose 0.030, talc 0.010, and Mg stearate 0.005 g.

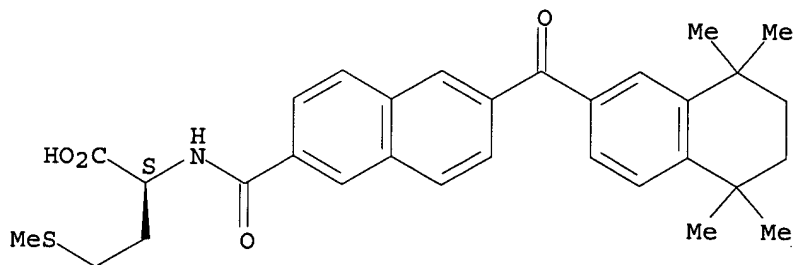
IT 110952-33-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as dermatol. pharmaceutical and cosmetic)

RN 110952-33-5 CAPLUS

CN L-Methionine, N-[[6-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)carbonyl]-2-naphthalenyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1983:16101 CAPLUS

DOCUMENT NUMBER: 98:16101

TITLE: Hydrolysis of N,N'-disubstituted diimides of 1,4,5,8-naphthalenetetracarboxylic acid. I. Structure of hydrolysis products and equilibrium position in relation to the pH of the medium  
AUTHOR(S): Kheifets, G. M.; Martyushina, N. V.  
CORPORATE SOURCE: Inst. Eksp. Med., Leningrad, USSR  
SOURCE: Zhurnal Organicheskoi Khimii (1982), 18(8), 1750-9

10/517,677

CODEN: ZORKAE; ISSN: 0514-7492

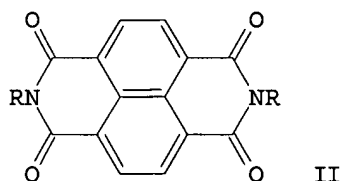
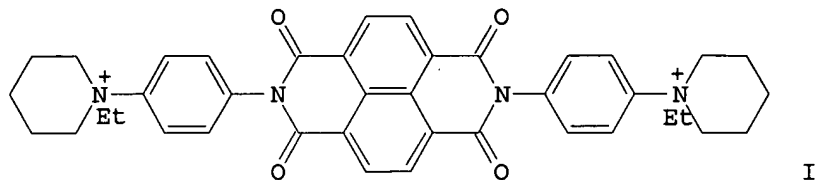
DOCUMENT TYPE:

Journal

LANGUAGE:

Russian

GI



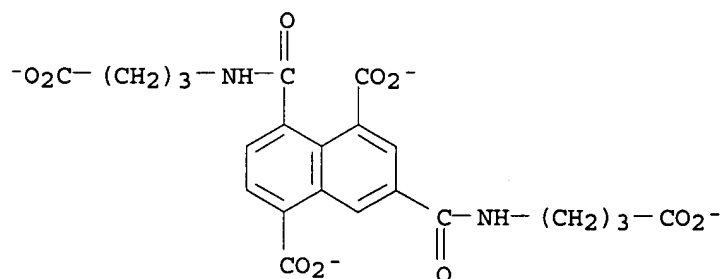
AB N,N'-Bis(arylimides) of the title acid, e.g., I are hydrolyzed at pH 6-8 and 37° with opening of 1 imide ring; the 2nd imide ring is opened at pH >9. N,N'-Bis(alkylimides), e.g., II [R = (CH<sub>2</sub>)<sub>3</sub>N<sup>+</sup>Me<sub>3</sub>, (CH<sub>2</sub>)<sub>3</sub>SO<sub>3</sub><sup>-</sup>, (CH<sub>2</sub>)<sub>5</sub>CO<sub>2</sub><sup>-</sup>], open only 1 ring at pH >9; the resulting amides are not hydrolyzed at pH 6-11 and 37°, and the process is reversible. At pH 0-3 the hydrolysis of the diimides proceeds slowly and irreversibly to give the monoimides. The intermediacy of imide anhydrides is discussed.

IT 83858-26-8P

RL: PRP (Properties); FORM (Formation, nonpreparative); PREP (Preparation) (formation and electronic spectrum of)

RN 83858-26-8 CAPLUS

CN 1,5-Naphthalenedicarboxylic acid, 4,8-bis[[3-carboxypropyl)amino]carbonyl]-, ion(4-) (9CI) (CA INDEX NAME)



L4 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1981:39527 CAPLUS

DOCUMENT NUMBER: 94:39527

TITLE: Purification of photographic image-forming sulfonamido compounds employing immiscible solvents

INVENTOR(S): Milner, Nigel E.; Payne, Christine C.

PATENT ASSIGNEE(S): Eastman Kodak Co., USA

SOURCE: U.S., 9 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

10/517,677

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4228070	A	19801014	US 1979-15972	19790228
CA 1117523	A1	19820202	CA 1979-322808	19790306
PRIORITY APPLN. INFO.:			US 1979-15972	A 19790228

AB The purification of sulfonamido image forming compds. (alkali-clearable upon oxidation to release a diffusible sulfonamido color forming moiety) which are useful in diffusion transfer photog., involves dissoln. in DMF, extraction of impurities with petroleum hydrocarbon, and recovery of the compound from DMF solution. Thus, the crude 3-chloro-2-hydroxy-5-{4-[4-hydroxy-3-(N,N-di-octadecylcarbamoyl)-1-naphthylsulfamoyl]phenylazo}benzamide (I) 50 g was dissolved in DMF 500, extracted with ligroin (b.p. 60-80°) (2 + 500 mL), mixed with EtOAc 900 mL, extracted with H<sub>2</sub>O 3 L, and the separated EtOAc

evaporated to give an oil which was crystallized from MeOH/MeEtCO mixture to give 40

g of the purified I.

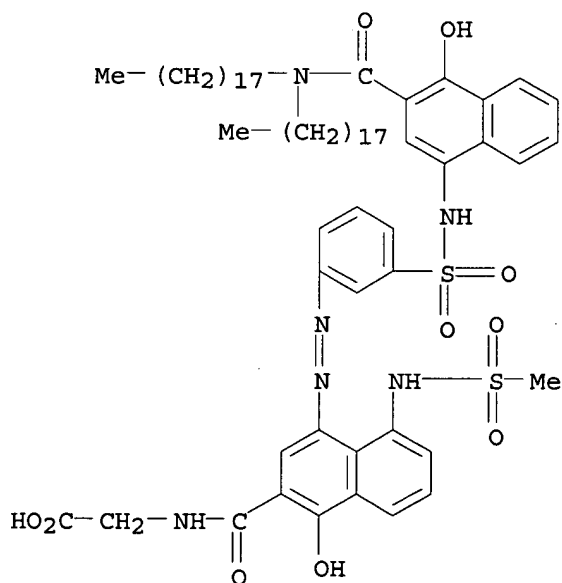
IT 73241-00-6 73681-64-8

RL: USES (Uses)

(purification method for)

RN 73241-00-6 CAPLUS

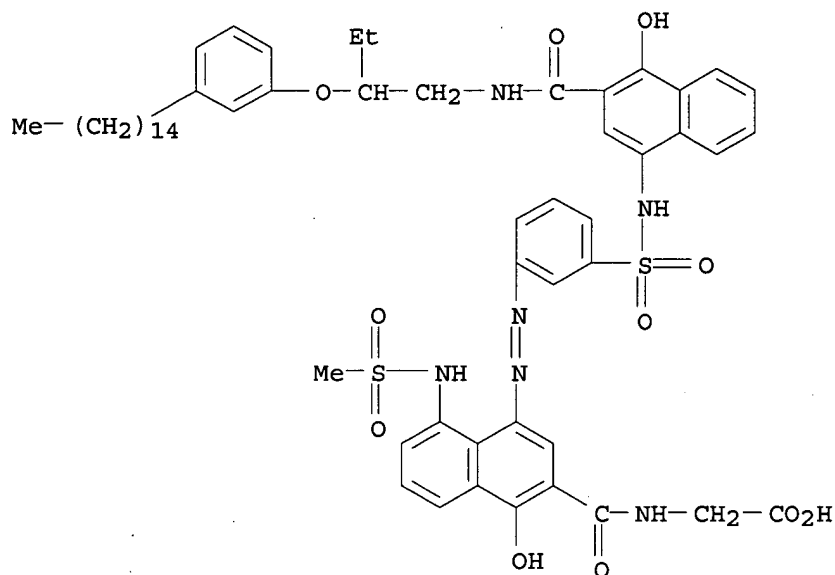
CN Glycine, N-[[4-[[3-[[[3-[(di-octadecylamino) carbonyl]-4-hydroxy-1-naphthalenyl]amino]sulfonyl]phenyl]azo]-1-hydroxy-5-[(methylsulfonyl) amino]-2-naphthalenyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 73681-64-8 CAPLUS

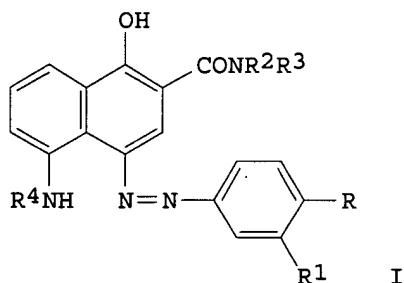
CN Glycine, N-[[1-hydroxy-4-[[3-[[[4-hydroxy-3-[[2-(3-pentadecylphenoxy) butyl] amino] carbonyl]-1-naphthalenyl] amino] sulfonyl] phenyl]azo]-5-[(methylsulfonyl) amino]-2-naphthalenyl]carbonyl]- (9CI) (CA INDEX NAME)

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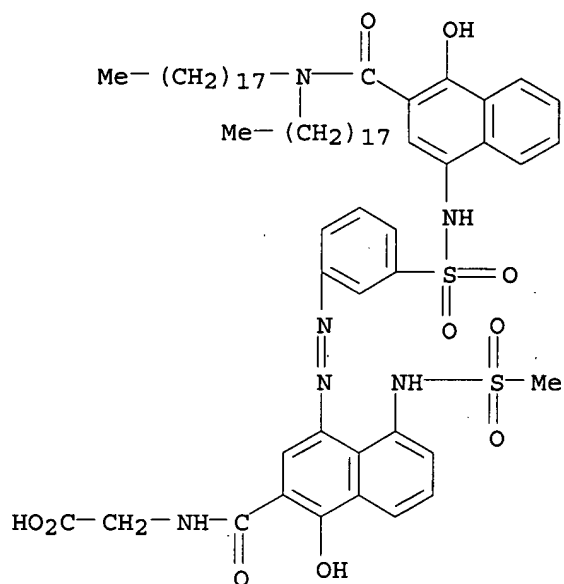
L4 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1980:207037 CAPLUS  
 DOCUMENT NUMBER: 92:207037  
 TITLE: Magenta dyes and redox dye releasers  
 AUTHOR(S): Bogie, J. A.; Cox, I. R.; Kilminster, K. N.  
 CORPORATE SOURCE: Kodak Ltd., UK  
 SOURCE: Research Disclosure (1980), 189, 4-7 (No. 18902)  
 CODEN: RSDSBB; ISSN: 0374-4353  
 DOCUMENT TYPE: Journal; Patent  
 LANGUAGE: English  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RD 189002		19800110		
PRIORITY APPLN. INFO.: GI			RD 1980-189002	19800110

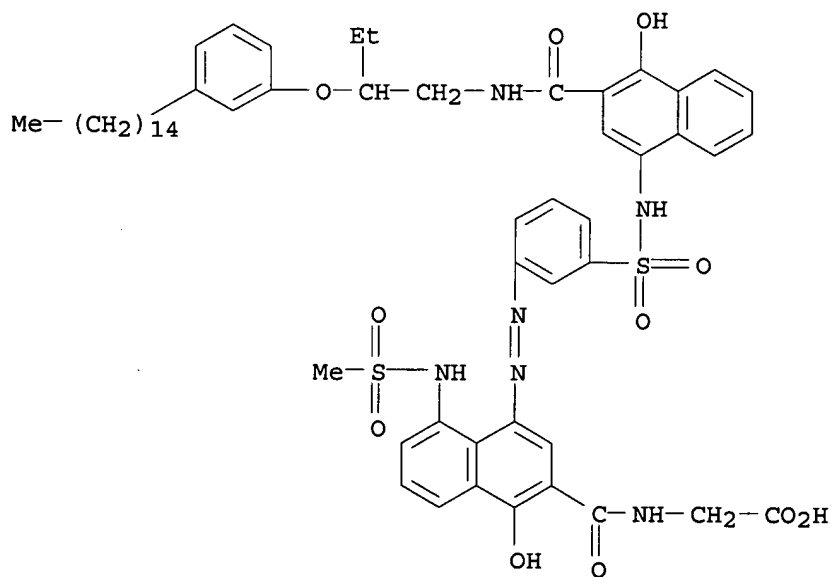


AB Redox dye-releasers having the formula I (R = a carrier group capable of releasing image dye under alkaline conditions as a function of Ag halide development; R1 = H, SO2NH, CO2H; R2 = H, alkyl; R3 = alkyl, aryl, substituted alkyl or aryl, or together with R2 completes a heterocycle; R4 = alkyl-, aryl-, or substituted arylcarbonyl or -sulfonyl) are described. These compds. have an especially desirable light and heat stability, diffusion

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RN 73681-64-8 CAPLUS  
CN Glycine, N-[[[1-hydroxy-4-[[3-[[[4-hydroxy-3-[[[2-(3-pentadecylphenoxy)butyl]amino]carbonyl]-1-naphthalenyl]amino]sulfonyl]phenyl]azo]-5-[(methylsulfonyl)amino]-2-naphthalenyl]carbonyl]- (9CI) (CA INDEX NAME)



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L1 STRUCTURE UPLOADED

L2 3 S L1



10/517,677

L3 67 S L1 FULL

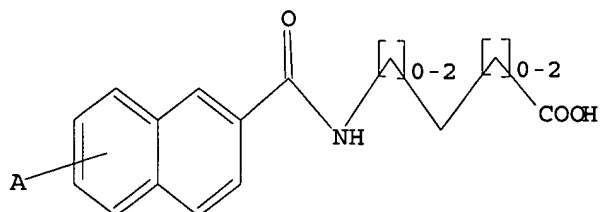
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L4 35 S L3

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L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

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